=> d ibib abs hitstr 1-42 125 L25 ANSWER 1 OF 42 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:502726 HCAPLUS DOCUMENT NUMBER: 137:68164 TITLE: Pharmaceutical aerosols containing hydrofluorocarbon propellants and devices for their administration INVENTOR(S): Goodman, Michael; Lindahl, Ake Biogland Ireland (R&D) Limited, Ire. PATENT ASSIGNEE(S): SOURCE: U.S., 8 pp., Cont.-in-part of U.S. Ser. No. 913,226, abandoned. CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ US 6413496 В1 20020702 US 1999-325927 19990604 <--19971204 <--WO 9824420 19980611 WO 1997-GB3360 Α1 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, MI, MP, NE, SN, TD, TC GN, ML, MR, NE, SN, TD, TG ZA 9710923 Α 19980902 ZA 1997-10923 19971204 <--PRIORITY APPLN. INFO.: GB 1996-25171 A 19961204 <--GB 1996-26449 A 19961220 <--B2 19970909 <--US 1997-913226 WO 1997-GB3360 A2 19971204 <--AB A device for providing pharmaceutical doses comprising a container, filled with a pharmaceutical compn. including a pharmaceutically active agent in a soln. of liquefied 1,1,1,2-tetrafluoroethane (HFC-134a), or acid alkyl ester, polyalkylene glycol, or DMSO. The device includes a valve arranged for delivering aerosol doses of said pharmaceutical compn. to the exterior of the container, and at least a portion of the device is formed from a polyester. For example, a compn.

1,1,1,2,3,3,3 heptafluoropropane (HFC-227) and a carrier. The carrier can be a pharmaceutically acceptable alc., polyol, (poly)alkoxy deriv., fatty comprising beclomethasone dipropionate (BDP) with HFC- 134a suitable for use in a device of this invention was formulated from the following ingredients (by wt.): BDP 0.164%, ethanol 96% 4.992%, and HFC-134a. expelled dose of the this formulation is approx. 25 .mu.L and provides 50 .mu.g of BDP.

ΙT 139755-83-2, Sildenafil

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aerosols contg. hydrofluorocarbon propellants and devices for their administration)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

Richard Byrd - Alvin carter - wm McGussey

Roy Clark - George Marshall - Lewis Powell

Searched by Mary Jane Ruhl 605-1155

Page 1

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REFERENCE COUNT:

30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:385005 HCAPLUS

DOCUMENT NUMBER:

136:380107

TITLE:

Ī

Combination compositions and methods using

phosphodiesterase inhibitors and other agents for the

treatment of anorectal disorders

INVENTOR(S):

Parks, Thomas P.; Mak, Vivien; Lee, Jung-Chung; Lee,

Charles

PATENT ASSIGNEE(S):

Cellergy Pharmaceuticals, Inc., USA

SOURCE:

U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 460,306.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE		APPLICATION N	Ο.	DATE	
	US 6391869	В1	20020521		US 2000-59539	0	20000614	<
	US 6395736	B1	20020528		US 1999-46030	6	19991213	<
	US 2002072522	A1	20020613		US 2001-91959	0	20010730	<
PRIOR	RITY APPLN. INFO.	:		US	1998-112325P	P	19981214	<
				US	1999-139916P	P	19990617	<
				US	1999-155318P	P	19990921	<
				US	1999-460306	A2	19991213	<
		·		US	2000-595390	A2	20000614	<
				US	2000-222267P	P	20000731	
				US	2001-769621	A2	20010123	

- AB Compns. and methods for the treatment of anorectal disorders are provided in which certain combinations of NO donors, PDE inhibitors, superoxide scavengers, .beta.-adrenergic agonists, cAMP-dependent protein kinase activators, .alpha.l-adrenergic antagonists, L-type calcium channel blockers, estrogens, ATP-sensitive potassium channel activators and smooth muscle relaxants are used.
- IT 139755-83-2, Sildenafil

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phosphodiesterase inhibitors and other agents for combination treatment of anorectal disorder)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2002 ACS L25 ANSWER 3 OF 42 2002:185616 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

136:252482

TITLE: Preparation of aqueous clear solution dosage forms

with bile acids

Yoo, Seo Hong INVENTOR(S):

PATENT ASSIGNEE(S): USA

U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. SOURCE:

> 6,251,428. CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
US 2002031558	A1	20020314	US 2001-778154 20010205 <-	
US 6251428	B1	20010626	US 1999-357549 19990720 <-	
PRIORITY APPLN. INFO.	:		US 1998-94069P P 19980724 <-	
			US 1999-357549 A2 19990720 <-	
			US 2000-180268P P 20000204 <-	

- AΒ Compns. for pharmaceutical and other uses comprise clear aq. solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aq. soln. The compns. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aq. sol. starch conversion product and an aq. sol. non-starch polysaccharide. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. for all pH values obtainable in an aq. system. The compn . may further contain a pharmaceutical compd., such as insulin, heparin, bismuth compds., amantadine and rimantadine. For example, soln. dosage forms that did not show any pptn. at any pH were prepd. contg. ursodeoxycholic acid (UDCA) 22 g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.
- 139755-83-2, Sildenafil 171599-83-0, Sildenafil citrate IT RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of stable aq. solns. contg. bile acids for therapy)

139755-83-2 HCAPLUS RN

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

L25 ANSWER 4 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:72805 HCAPLUS

DOCUMENT I

136:139829

TITLE:

Compositions comprising sibutramine

metabolites in combination with phosphodiesterase

inhibitors

INVENTOR(S):

Jerussi, Thomas P.; Senanayake, Chrisantha H.; Fang,

Qun K.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of U.S.

Ser. No. 662,135.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                        KIND DATE
                                                 APPLICATION NO. DATE
                        A1
     US 2002010198
                                20020124
                                                 US 2001-770663
                                                                      20010129 <--
                         B1 20011218
                                                 US 1999-372158
     US 6331571
                                                                      19990811 <--
     US 6339106
                         В1
                                20020115
                                                 US 2000-662135
                                                                      20000914 <--
                        A2
                                                WO 2002-US2040 20020123
     WO 2002060424
                                20020808
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                              US 1999-372158 A2 19990811 <--
PRIORITY APPLN. INFO.:
                                              US 2000-662135
                                                                A2 20000914
                                              US 1998-97665P P 19980824 <--
                                              US 1998-99306P P 19980902 <--
                                              US 2001-770663 A 20010129
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Methods are disclosed for the treatment and prevention of disorders and AΒ conditions such as, but are not limited to: eating disorders; wt. gain; obesity; irritable bowel syndrome; obsessive-compulsive disorders; platelet adhesion; apnea; affective disorders such as attention deficit disorders, depression, and anxiety; male and female sexual function disorders; restless leg syndrome; osteoarthritis; substance abuse including nicotine and cocaine addiction; narcolepsy; pain such as neuropathic pain, diabetic neuropathy, and chronic pain; migraines; cerebral function disorders; chronic disorders such as premenstrual syndrome; and incontinence. Pharmaceutical compns. and dosage forms are also disclosed which comprise a racemic or optically pure sibutramine metabolite and an optional drug. Sibutramine free base was prepd. by the reaction of chlorbenzylnitrile dibromopropane in the presence of NaH in DMSO, followed by the treatment of the resulting 1-(4chlorophenyl)cyclobutanecarbonitrile with isobutylmagnesium bromide and finally treatment with HCHO. The fee base was resolved into the (R) and (S) isomers and converted into their metabolites. Hard gelatin capsules contained racemic or optically pure sibutramine metabolite 5.0, microcryst. cellulose 90.0, pregelatinized starch 100.3, croscarmellose sodium 7.0, and Mg stearate 0.2 mg.

IT139755-83-2, Sildenafil

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. comprising sibutramine metabolites in combination with phosphodiesterase inhibitor)

RN

139755-83-2 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

IT 139755-82-1, Desmethylsildenafil

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (desmethylsildenafil; compns. comprising sibutramine metabolites in combination with phosphodiesterase inhibitor)

RN 139755-82-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 5 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:51989 HCAPLUS

DOCUMENT NUMBER: 136:96083

TITLE: Methods of using and compositions comprising

(+)-sibutramine optionally in combination with other

pharmacologically active compounds

INVENTOR(S): Young, James W.; Jerussi, Thomas P.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S.

Ser. No. 442,263.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE: Eng.

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	A	PPLICATION NO.	DATE	
US 2002006964	A1 20020	0117 U	S 2001-770393	20010129	<
WO 2002060427	A2 20020	0808 W	O 2002-US2038	20020123	
W: AE, AG,	AL, AM, AT,	AU, AZ, BA,	BB, BG, BR, BY	, BZ, CA,	CH, CN,
CO, CR,	CU, CZ, DE,	DK, DM, DZ,	EC, EE, ES, FI	, GB, GD,	GE, GH,
GM, HR,	HU, ID, IL,	IN, IS, JP,	KE, KG, KP, KF	k, KZ, LC,	LK, LR,
			MN, MW, MX, MZ		
			SK, SL, TJ, TM		
UA, UG,	UZ, VN, YU,	ZA, ZM, ZW,	AM, AZ, BY, KG	KZ, MD,	RU, TJ, TM
RW: GH, GM,	KE, LS, MW,	MZ, SD, SL,	SZ, TZ, UG, ZN	I, ZW, AT,	BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 1995-442263 A2 19950516 <--US 2001-770393 A 20010129

This invention encompasses methods for the treatment and prevention of AB disorders that include, but are not limited to, eating disorders; wt. gain; obesity; irritable bowel syndrome; obsessive-compulsive disorders; platelet adhesion; apnea; affective disorders such as attention deficit disorders, depression, and anxiety; male and female sexual function disorders; restless leg syndrome; osteoarthritis; substance abuse including nicotine and cocaine addiction; narcolepsy; pain such as neuropathic pain, diabetic neuropathy, and chronic pain; migraines; cerebral function disorders; chronic disorders such as premenstrual syndrome; and incontinence. The invention further encompasses pharmaceutical compns. and dosage forms which comprise optically pure (+)-sibutramine, optionally in combination with a phosphodiesterase inhibitor or a lipase inhibitor.

ΙT 139755-82-1, Desmethylsildenafil

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(desmethylsildenafil; therapeutic compns. comprising (+)-sibutramine and optionally in combination with other pharmacol. active compds.)

139755-82-1 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

ΙT 139755-83-2, Sildenafil

RN

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(therapeutic compns. comprising (+)-sibutramine and optionally in combination with other pharmacol. active compds.)

139755-83-2 HCAPLUS RN

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 6 OF 42 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:51988 HCAPLUS

DOCUMENT NUMBER:

136:107551

TITLE:

Method of using and compositions comprising

(-) sibutramine optionally in combination with other

pharmacologically active compounds Young, James W.; Jerussi, Thomas P.

INVENTOR(S):
PATENT ASSIGNEE(S):

rica.rg, cames

SOURCE:

U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S.

Ser. No. 721,669. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	ο.	DATE				
									_									
US	2002	0069	63	A:	1	2002	0117		U.	S 20	01-7	7066	5	2001	0129	<		
WO	2002	0604	28	A:	2	2002	8080		W	0 20	02-U	S203	9	2002	0123			
	w:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	UΖ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
PRIORIT	Y APP	LN.	INFO	. :					US 1	992-	9030	40	В1	1992	0623	<		
									US 1	995-	4616	80	В1	1995	0605	<		
									US 2	000-	7216	69	A2	2000	1127			
									US 2	001-	7706	65	Α	2001	0129			

AB This invention encompasses methods for the treatment and prevention of disorders that include, but are not limited to, eating disorders; wt. gain; obesity; irritable bowel syndrome; obsessive-compulsive disorders; platelet adhesion; apnea; affective disorders such as attention deficit disorders, depression, and anxiety; male and female sexual function disorders; restless leg syndrome; osteoarthritis; substance abuse including nicotine and cocaine addiction; narcolepsy; pain such as neuropathic pain, diabetic neuropathy, and chronic pain; migraines; cerebral function disorders; chronic disorders such as premenstrual syndrome; and incontinence. The invention further encompasses pharmaceutical compns. and dosage forms which comprise optically pure (-) sibutramine, optionally in combination with a phosphodiesterase inhibitor or a lipase inhibitor. A soln. of 21.7 g L-dibenzyltartaric acid ("L-DBTA") in Et acetate was added to a soln. of 12.3 g racemic sibutramine in Et acetate and the reaction mixt. was heated to reflux and cooled to room temp. The white ppt. was collected and the solid was then suspended in Et acetate and heated at reflux for 30 min. The solid was collected and further crystd. in iso-Pr alc. to give 11.3 g of (-)-sibutramine L-DBTA (yield 76%). Free base was obtained by treatment of (-)-sibutramine L-DBTA with satd. aq. NaHCO3 and extd. with chloroform. A pharmacol. study was conducted to det. the relative potency, comparative efficacy, binding affinity, and toxicity of the enantiomers and racemic mixt. of sibutramine. A capsule contained (-) sibutramine 10.0, lactose 70.0, corn starch 19.5, and magnesium stearate 0.05 mg.

IT 139755-82-1, Desmethylsildenafil 139755-83-2, Sildenafil RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(method of using and compns. comprising (-) sibutramine optionally in combination with other pharmacol. active compds.)

RN 139755-82-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 7 OF 42 HCAPLUS COPYRIGHT 2002 ACS

- ACCESSION NUMBER: 2001:489213 HCAPLUS

DOCUMENT NUMBER: 135:82004

TITLE: Hydrogel-driven drug dosage forms comprising

water-swellable compositions

INVENTOR(S): Appel, Leah Elizabeth; Beyerinck, Ronald Arthur;

Chidlaw, Mark Brian; Curatolo, William John; Friesen, Dwayne Thomas; Smith, Kelly Lincoln; Thombre, Avinash

Govind

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	и ис	ο.	DATE			
									_								
WO	2001	0475	00	A.	1	2001	0705		M	0 20	00-I	B192	0	2000	1220	<	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM YU, ZA, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2002015731 Α1 20020207 US 2000-745095 20001220 <--EP 2000-983435 EP 1242055 Α1 20020925 20001220 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR NO 2002-2970 NO 2002002970 20020620 20020620 <--PRIORITY APPLN. INFO.: US 1999-171968P Ρ 19991223 <--WO 2000-IB1920 W 20001220

AB A controlled-release dosage form has a coated core with the core comprising a drug-contg. compn. and a water-swellable compn., each occupying sep. regions within the core. The drug-contg. compn. comprises a low-soly. drug and a drug-entraining agent. The coating around the core is water-permeable, water-insol. and has at least one delivery port therethrough. A variety of formulations having specific drug release profiles are disclosed. Thus, 400m mg of a drug-contg. layer, contg. sildenafil 35, xylitol 30, polyethylene oxide 29, sodium starch glycolate 5, and magnesium stearate 1% was compressed with 100 mg of a water-swellable layer contg. sodium starch glycolate 74.5, microcryst. cellulose 25, and magnesium stearate 0.5% to make a controlled-release bilayer tablet. The amt. of the drug release within 2, 8 and 20 h was 25, 74, and 98%, resp.

IT 171599-83-0, Sildenafil citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hydrogel-driven drug dosage forms comprising water-swellable compns.)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RECORD. ALL CITATI

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 8 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:396644 HCAPLUS

DOCUMENT NUMBER:

REFERENCE COUNT:

135:24671

TITLE:

SOURCE:

Solid carriers for improved delivery of active

ingredients in pharmaceutical compositions

INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing

PATENT ASSIGNEE(S):

Lipocine, Inc., USA PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                                  KIND
                                           DATE
                                                                   APPLICATION NO. DATE
       WO 2001037808
                                 A1
                                            20010531
                                                                 WO 2000-US32255 20001122 <--
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
                    SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
                    ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
             RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                                 US 1999-447690
       US 6248363
                                           20010619
                                                                                             19991123
                                   В1
                                            20020828
                                                                   EP 2000-980761
       EP 1233756
                                   A1
                                                                                              20001122 <--
              R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                                               US 1999-447690
                                                                                         Α
                                                                                              19991123 <--
                                                               WO 2000-US32255 W 20001122
```

The present invention provides solid pharmaceutical compns. for improved AΒ delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid pharmaceutical compn. includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical compn. includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and diagnostic agents. A compn. contained glyburide 1, PEG 40 stearate 33, glycerol monolaurate 17, and nonpareil seed 80 g.

IT 171599-83-0, Sildenafil citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

RECORD. ALL CIT

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L25 ANSWER 9 OF 42 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:380370 HCAPLUS

DOCUMENT NUMBER:

REFERENCE COUNT:

135:9995

TITLE:

Pharmaceuticals containing sildenafil for treating

male erectile dysfunction Vallabhaneni, Ramakrishna Rao

PATENT ASSIGNEE(S):

Natco Pharma Ltd., India

SOURCE:

PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001035926	A2	20010525	WO 2000-IN105	20001024 <
WO 2001035926	A3	20011227		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG A2 20020911 EP 2000-990872 20001024 <--EP 1237538 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL IN 1999-MA1128 PRIORITY APPLN. INFO.: A 19991118 <--WO 2000-IN105 W 20001024

AB The invention relates to a novel pharmaceutical compn. contg. sildenafil useful for nasal administration in the treatment of male erectile dysfunction due to a variety of causes. The compn. is also effective in patients with erectile dysfunction due to spinal cord injury. The pharmaceutical compn. is in the form of a soln. or a colloidal dispersion in a vehicle filled into a specially designed dosing device for nasal administration. The invention also provides a method for prepg. the compn. contg. sildenafil for nasal application for the treatment of male erectile dysfunction. Thus, a formulation contained sildenafil citrate 10.000, PEG-300 30.000, glycerol 20.000, and HCl 10.000% and water to 1.0 mL.

IT 139755-83-2, Sildenafil 171599-83-0, Sildenafil citrate
252920-86-8 252951-59-0 252959-28-7
255885-45-1 255885-46-2 255885-47-3
255885-48-4 255885-49-5 255885-50-8
340963-09-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceuticals contg. sildenafil for treating male erectile dysfunction)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$^{\mathrm{CO_2H}}_{|}_{|}$$
  $^{\mathrm{HO_2C-CH_2-CO_2H}}_{|}_{|}$   $^{\mathrm{OH}}$ 

RN 252920-86-8 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 252951-59-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 7697-37-2 CMF H N O3

RN 252959-28-7 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 255885-45-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 255885-46-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, phosphate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

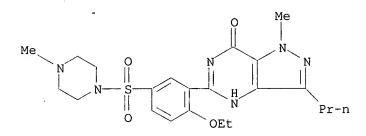
7664-38-2 CRN H3 O4 P CMF

RN 255885-47-3 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S



CM

CRN 64-19-7 C2 H4 O2 CMF

RN

255885-48-4 HCAPLUS Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-methyl-7-oxo-3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

139755-83-2 CRN CMF C22 H30 N6 O4 S

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 255885-49-5 HCAPLUS

CN Butanedioic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 110-15-6 CMF C4 H6 O4

 ${\tt HO_2C-CH_2-CH_2-CO_2H}$ 

RN 255885-50-8 HCAPLUS

CN L-Ascorbic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-

pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 50-81-7 CMF C6 H8 O6

Absolute stereochemistry.

RN 340963-09-9 HCAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 50-21-5 CMF C3 H6 O3

OH | Me-CH-CO2H

L25 ANSWER 10 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:338347 HCAPLUS

DOCUMENT NUMBER:

134:348287

TITLE:

Composition and method for decreasing

neurologic symptomatology comprising phosphodiesterase

inhibitor

INVENTOR(S):

Swope, David M.

PATENT ASSIGNEE(S):

USA

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA	rent :	NO.		, KII	ND	DATE			A	PPLI	CATI	ON NO	0.	DATE			
	WO	2001	0321	70	A	1	2001	0510		W	0 20	00-U	S409	01	2000	0913	<	•
		W:	ΑE,	AG,	AL,	ΑM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
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															PT,			
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	ΕP	1218	003		A	1	2002	0703		E	P 20	00-9	7410	1	2000	0913	<	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL							
	US	2002	0322	03	A:	1	2002	0314		Ü	S 20	00-7	3136	2	2000	1205	<	
	US	6380	267		B	1	2002	0430										
	US 6380267 US 2002119978				A	1	2002	0829		Ü	S 20	02-1	1684	0	2002	0405		
RIO	RIT	Y APP	LN.	INFO	. :				1	US 1	999-	1535	86P	Ρ	1999	0913	<	
									1	WO 2	000-	US40	901	W	2000	0913		
•									1	US 2	000-	7313	62	A1	2000	1205		
R	Δr	netho	d of	dec	reag	ina	the	sian	a or	SIM	ntom	a+ol	in	an	atio	nt w	ith :	_

AB A method of decreasing the signs or symptomatol. in a patient with a neurol. condition or disease, or in a patient due to effects of exposure to an exogenous substance, such as a pharmaceutical agent, comprising selecting a patient having at least one sign or symptom selected from the group consisting of akinesia, bradykinesia, dyskinesias, gait disturbances, posture disturbances, rigid limbs, speech impairments and tremor and administering to the patient one or more than one EDs of a phosphodiesterase inhibitor. A compn. for decreasing the signs or symptomatol. in a patient with a neurol. condition or disease, or in a patient due to effects of exposure to an exogenous substance, such as a pharmaceutical agent, the compn. comprising an ED of one or more

than one phosphodiesterase inhibitor combined with an ED of one or more than one addnl. pharmaceutical agent known to decrease signs or symptomatol. in a patient with a neurol. condition or disease. A 60 yr old male patient with Parkinson's disease who was taking 700 mg of levodopa/ day was initially treated with 50 mg of sildenafil/day. During the treatment, his dyskinesias were significantly reduced and his dose of sildenafil was decreased to 25 mg and his dose of levodopa was reduced to 300-400 mg/day.

139755-83-2, Sildenafil ΙT

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compn. and method for decreasing neurol. symptomatol. comprising phosphodiesterase inhibitor)

139755-83-2 HCAPLUS RN

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2002 ACS L25 ANSWER 11 OF 42

2

2001:300502 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:300832

TITLE: Sildenafil preparation for treatment of erectile

dysfunction

Laniado, Shlomo; Stern, Naftali; Keren, Gad INVENTOR(S):

PATENT ASSIGNEE(S): Israel

SOURCE: PCT Int. Appl., 5 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P#	ATENT	NO.		KI	ND	DATE			A -	PPLI	CATI	и ис	o.	DATE			
	2001								W	O 20	00-1	L622		2000	1005	<	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN.
														GH,			•
														LR,			
														RU,			
		SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	ŲΑ,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD.,	RU,	TJ,	TM							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IL 1999-132460 A 19991019 <--

AB The present invention relates to a synergistic compn. for the treatment of erectile dysfunction comprising suitable amts. of Sildenafil and of L-arginine or of pharmaceutically acceptable salts thereof. The compn. comprises preferably 25-100 mg of Sildenafil and 0.5-1.5 g of L-arginine. The compn. may comprise suitable excipients and advantageously in oral dosage form for the treatment of erectile dysfunction.

IT 139755-83-2, Sildenafil

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synergistic  ${\tt compn}$ . contg. Sildenafil and arginine for treatment of erectile dysfunction)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 12 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:283767 HCAPLUS

DOCUMENT NUMBER: 134:285617

TITLE: Tablets with a gellan gum coating

INVENTOR(S): Flanagan, John; Smith, Terry L.; Barkley, Aaron;

Nicholson, Richard E.; Callahan, Timothy P.

PATENT ASSIGNEE(S): Monsanto Company, USA SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT I	NO.		KI	ND	DATE			A.	PPLI	CATI	ON NO	ο.	DATE			
WO	2001	0266	34	A.	1	2001	0419		W	0 20	00-U	S280	32	2000	1011	<	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	ĹS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VN,
		YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM				
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG			
ΕP	1220	660		A.	1	2002	0710		E:	P 20	00-9	7075	3	2000	1011	<	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.:

US 1999-416181 A1 19991011 <--WO 2000-US28032 W 20001011

AB A tablet coating useful for coating an active selected from the group consisting of aspirin, ibuprofen, naproxen sodium, acetaminophen, celecoxib, sildenafil citrate, alendronate sodium, an analgesic in combination with one or more of an antitussive, antihistamine, decongestant and expectorant, oxaprozin, comprising gellan gum along with a process which comprises admixing gellan gum and water under effective shear conditions to prep. an aq. gellan gum coating compn. thereof whereby the aq. gellan gum coating compn. is applied in an adherent fashion to a placebo or a tablet contg. an active to form a gellan gum coated placebo or gellan gum coated active.

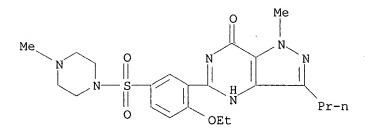
IT 171599-83-0, Sildenafil citrate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(tablets with a gellan gum coating)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S



CM 2

CRN 77-92-9 CMF C6 H8 O7

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 13 OF 42 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:114953 HCAPLUS

DOCUMENT NUMBER:

134:157562

TITLE: Methods and pharmaceutical compositions for

increasing optic nerve, choroidal and retinal blood

flow by cyclic-GMP analogs, cyclic-GMP

phosphodiesterase inhibitors, or guanylate cyclase

activators.

INVENTOR(S): Sponsel, William E.

PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

1	PAI	ENT I	NO.		KI	ND	DATE			A	PPLI	CATI	ои ис	ο.	DATE			
-										-								
1	WO	2001	0104	06	A:	2	2001	0215		W	20°	00-U	S219	29	20000	0810	<	
Ţ	ωO	2001	0104	06	A.	3	2002	8080										
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
			CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,
			ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,
	· · · · · · · · · · · · · · · · · · ·			MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,
	SE,			SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VN,	YU,
	ZA,			ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM					
	ZA, RW: GH,				KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	ÜG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
I	EΡ	1246	605		A:	2	2002	1009		E	P 20	00-9	5272	1	2000	0810	<	
	EP 1246605 R: AT, 1				CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL							
PRIOR:	ΙTΥ	APP.	LN.	INFO	.:					US 1	999-	1481	50P	P	1999	0810	<	
									1	WO 2	000-	US21	929	W	2000	0810		

- AB A method is provided for improving visual function and maximizing the health of the optic nerve and retina by increasing blood flow velocity therein through the application of an effective amt. of a formulation of an agent that is a cyclic-GMP analog, a cyclic-GMP phosphodiesterase inhibitor, or a guanylate cyclase activator. Compds. of the invention include e.g. sildenafil citrate (Viagra).
- IT 139755-83-2, Sildenafil 171599-83-0, Sildenafil citrate
  RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
  effector, except adverse); BSU (Biological study, unclassified); THU
   (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic-GMP analog, cyclic-GMP phosphodiesterase inhibitor, or guanylate cyclase activator for increasing optic nerve, choroidal and retinal blood flow.)

RN 139755-83-2 HCAPLUS

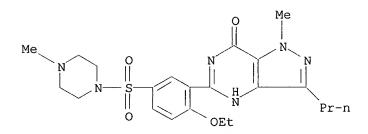
CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S



CM 2

CRN 77-92-9 CMF C6 H8 O7

L25 ANSWER 14 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:98405 HCAPLUS

DOCUMENT NUMBER:

134:141774

TITLE:

Methods, pharmaceutical compositions

comprising cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitors for prophylactic and treatment of diseases and conditions of the eye

INVENTOR(S):

Laties, Alan Malev

PATENT ASSIGNEE(S):

Pfizer Products Inc., USA Eur. Pat. Appl., 9 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE EP 1074258 A2 20010207 EP 2000-306235 20000721 <--EP 1074258 AЗ 20010418 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2001048788 A2 20010220 JP 2000-222162 20000724 <--US 2002119974 US 2002-126375 A1 20020829 20020419 <--PRIORITY APPLN. INFO.: US 1999-146095P P 19990728 <--US 2000-607562 B1 20000629 <--

OTHER SOURCE(S):

MARPAT 134:141774

GΙ

The invention describes methods using cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitors (I) [R1= H, C1-C3 alkyl, C3-C5 cycloalkyl, perfluoroalkyl; R2= H, (hydroxyl-substituted) C1-C6 alkyl, C3-C6 cycloalkyl, etc.; R3= C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, etc.; R4N completes pyrrolidinyl, morpholino, etc.; R5= H, C1-C4 alkyl, C1-C3 alkoxy, etc.] for prophylactic and therapeutic administration in patients with eye diseases and conditions including:central retinal artery occlusion; central retinal vein occlusion; optic neuropathy including, but not limited to, anterior ischemic optic neuropathy and glaucomatous optic neuropathy; and macular (dry) degeneration. Pharmaceutical compns. comprising cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitors are also disclosed.

IT 139755-81-0 139755-82-1 139755-83-2 139755-84-3 139755-85-4 139755-86-5 139755-87-6

Ι

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phosphodiesterase type 5 inhibitors for prophylactic and treatment of eye diseases)

RN 139755-81-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-(2-propenyloxy)phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 139755-82-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 139755-84-3 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-(1-methyl)- (9CI) (CA INDEX NAME)

RN 139755-85-4 HCAPLUS

CN 1-Piperazineethanol, 4-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-86-5 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-87-6 HCAPLUS

CN 1-Piperazineethanol, 4-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 15 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:63813 HCAPLUS

DOCUMENT NUMBER:

134:136686

TITLE:

Pharmaceutical composition containing

sildenafil derivatives for the treatment of tinnitus

and hearing loss

INVENTOR(S):

Simon, Shmuel

PATENT ASSIGNEE(S):

Israel

SOURCE:

PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.	ATENT	NO.		KI	ND	DATE			A	PPLI	CATI	и ис	ο.	DATE			
									_								
WC	2001	0053	86	A.	2	2001	0125		M	O 20	00-I	L405		2000	0709	<	
WC	2001	0053	86	A	3	2001	0719										
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														GE,			-
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
	HU, ID, I LU, LV, M																
						AZ,								•	•	•	•
	RW:													AT,	BE,	CH,	CY,
														PT,			
															- •	•	•
PRIORIT	CF, CG, C ::ORITY APPLN. INFO					•	,							1999	0715	<	
OTHER S	SOURCE	(S):			MAR	PAT	134:	1366	86								
GI		, ,															

AΒ The present invention relates to the use of sildenafil and related compds. (I; R1, R2, R3, R4 = H, Me, Et, Pr, iso-Pr) or any pharmaceutically acceptable salt thereof, in the prepn. of pharmaceutical compn. useful for decreasing or eliminating tinnitus and for decreasing hearing loss. Pharmaceutical compns. contg. drugs of the present inventions are suitable for oral, parenteral, rectal and topical administration. A male patient, suffering for several years from severe tinnitus and hearing loss, was prescribed sildenafil citrate for improving his sexual performance. Unexpectedly, the patient noticed amelioration of the tinnitus, which eventually nearly disappeared. An intentional test was made to stop the medication, which resulted in return of tinnitus within a period of 2-3 wk after from cessation of the sildenafil citrate. A rechallenge with sildenafil citrate, 25 mg twice weekly, again reduced the severity of tinnitus within a week and proceeded to almost complete disappearance of the symptom and improvement in hearing. Also, a female patient, known to have bilateral tinnitus and hearing loss for > 20 yr, was given sildenafil citrate, 25 mg daily. Starting after the 5th daily dose, the patient reported marked redn. in her tinnitus, which persisted for as long as she took the drug.

IT 139755-83-2 171599-83-0, Sildenafil citrate

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. contg. sildenafil and its derivs. for treatment of tinnitus and hearing loss)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 139755-83-2 C22 H30 N6 O4 S CMF

CM

77-92-9 CRN C6 H8 O7 CMF

$$\begin{array}{c} {\rm CO_2H} \\ | \\ {\rm HO_2C-CH_2-C-CH_2-CO_2H} \\ | \\ {\rm OH} \end{array}$$

L25 ANSWER 16 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:911253 HCAPLUS

DOCUMENT NUMBER:

134:76387

TITLE:

Process for preparing sildenafil and troche

composition containing sildenafil and

apomorphine for treatment of erection disorders

INVENTOR(S):

Ding, Ding Sheng

PATENT ASSIGNEE(S):

Biochemical Pharmaceutical Factory of Zhuhai Sez,

Peop. Rep. China

SOURCE:

PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Chinese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DA	ATE	APPLICATION N	O. DATE	
WO 2000078760	A1 20	0001228	WO 2000-CN145	20000608 <	
W: AL, AM	, AT, AU, A	AZ, BA, BB,	BG, BR, BY, CA,	CH, CN, CU, CZ, D	Ε,
DK, EE	, ES, FI, G	GB, GD, GE,	GH, GM, HR, HU,	ID, IL, IN, IS, J	Ρ,
KE, KG	, KP, KR, K	KZ, LC, LK,	LR, LS, LT, LU,	LV, MD, MG, MK, M	N,
MW, MX	, NO, NZ, P	PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, T	Μ,
TR, TI	, UA, UG, U	US, UZ, VN,	YU, ZW, AM, AZ,	BY, KG, KZ, MD, R	U,

TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: CN 1999-108194 A 19990621 <--The invention relates to a process for the prepn. of sildenafil, a troche AB which comprises sildenafil and apomorphine for treatment of erection disorders. The process for the synthesis of sildenafil according to the invention comprises the reaction of a pyrazolo[4,3-d]pyrimidine benzenesulfonyl halide with 1-methylpiperazine salt, followed by neutralization. The troche according to the invention comprises apomorphine hydrochloride and sildenafil citrate. The troche compn. may contain cyclodextrin or phospholipids. For example, a lozenge compn. contained sildenafil citrate 40, apomorphine hydrochloride 6, .beta.-cyclodextrin 150, hydropropyl Me cellulose 6, stearic acid 6, mannitol 28, sucrose 780, aspartame 15, strawberry flavor 5, methylparaben 0.04, camphor 2, and Ca stearate 10 parts.

IT 139755-83-2P, Sildenafil

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of sildenafil and lozenges contg. sildenafil and apomorphine for treatment of erection dysfunction)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

IT 171599-83-0, Sildenafil citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of sildenafil and lozenges contg. sildenafil and apomorphine for treatment of erection dysfunction)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} {\rm CO_2H} \\ | \\ {\rm HO_2C-CH_2-C-CH_2-CO_2H} \\ | \\ {\rm OH} \end{array}$$

7 REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 17 OF 42 HCAPLUS COPYRIGHT 2002 ACS

2000:880937 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:46783

TITLE: Pharmaceutical compositions for nasal

administration of water-soluble drugs INVENTOR(S): Klocker, Norbert

Hexal A.-G., Germany PCT Int. Appl., 19 pp. PATENT ASSIGNEE(S): SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent German LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 2000074652	A1 20001214	WO 2000-EP4800 20000526 <
W: AE, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
CZ, DE,	DK, DM, EE, ES,	FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS,	JP, KE, KG, KP,	KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG,	MK, MN, MW, MX,	NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL,	TJ, TM, TR, TT,	TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY,	KG, KZ, MD, RU,	TJ, TM
RW: GH, GM,	KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG,	CI, CM, GA, GN,	GW, ML, MR, NE, SN, TD, TG
DE 19925289	A1 20001207	DE 1999-19925289 19990602
DE 19936545	A1 20010208	DE 1999-19936545 19990803
EP 1189596	A1 20020327	EP 2000-935121 20000526 <
R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI,	LT, LV, FI, RO	

PRIORITY APPLN. INFO.:

DE 1999-19925289 A 19990602 <--DE 1999-19936545 A 19990803 <--WO 2000-EP4800 W 20000526 <--

AB The invention relates to a nasally administered pharmaceutical compn. comprised of at least 1 water-sol. drug, neutral oil and, optionally, at least one solubilizer, whereby the addn. of preservatives and propellants can be dispensed with. The compn. contains essentially no water. Polyhexanide 20 mg was dissolved in 100 mL LMiglyol-812, the soln. was sterilized and filled into a pump-spray.

IT 171599-83-0, Sildenafil citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. for nasal administration of water-sol. drugs)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 18 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:865097 HCAPLUS

DOCUMENT NUMBER:

134:32988

TITLE:

Nasal pharmaceutical composition for

water-soluble drugs

INVENTOR(S):

Kloecker, Norbert Hexal A.-G., Germany Ger. Offen., 6 pp.

PATENT ASSIGNEE(S): SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                           KIND
                                  DATE
                                                    APPLICATION NO.
                                                                          DATE
                                                    DE 1999-19925289 19990602
                                  20001207
     DE 19925289
                           A1
                                                    WO 2000-EP4800
     WO 2000074652
                           A1
                                  20001214
                                                                          20000526 <--
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               CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
               IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
               SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
               AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1189596
                           A1 20020327
                                                    EP 2000-935121 20000526 <--
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.:
                                                 DE 1999-19925289 A 19990602 <--
                                                 DE 1999-19936545 A 19990803 <--
                                                                      W 20000526 <--
                                                 WO 2000-EP4800
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AB A pharmaceutical compn. for nasal administration consists of at least a water-sol. drug, neutral oil, and a soln. mediator, in which no preservatives and propellants are present and the compn. is essentially water-free. Thus, polyhexanide was dissolved in Miglyol-840 and the compn. was sterilized and filled into a pump spray.

IT 171599-83-0, Sildenafil citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nasal pharmaceutical compn. for water-sol. drugs)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

L25 ANSWER 19 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:725436 HCAPLUS

DOCUMENT NUMBER:

133:301171

TITLE:

Compositions and methods for improved

delivery of ionizable hydrophobic therapeutic agents

INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.

PATENT ASSIGNEE(S): SOURCE:

Lipocine, Inc., USA PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                                KIND
                                         DATE
                                                                APPLICATION NO.
       ______
                                 ____
                                          _----
                                                                _____
       WO 2000059475
                                          20001012
                                                                WO 2000-US7342
                                                                                         20000316 <--
                                A1
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                   AZ, BY, KG, KZ, MD, RU, TJ, TM
             RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
                   CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          20020507
                                                               US 1999-287043
                                                                                          19990406
       US 6383471
                                  B1
                                                                EP 2000-916547
       EP 1165048
                                  A1
                                         20020102
                                                                                          20000316 <--
             R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO PRIORITY APPLN. INFO.:
                                                            US 1999-287043
                                                                                     A 19990406 <--
                                                                                     W 20000316 <--
                                                            WO 2000-US7342
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AB The present invention is directed to a pharmaceutical compn. including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of prepg. such compns. by providing a compn. of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier contg. concd. phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole soln. upon diln. in simulated gastric fluid.

IT 139755-83-2, Sildenafil

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. contg. hydrophobic therapeutic agents and carriers contg. ionizing agents and surfactants and triglycerides)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 20 OF 42 HCAPLUS COPYRIGHT 2002 ACS

3

ACCESSION NUMBER:

2000:666604 HCAPLUS

DOCUMENT NUMBER:

133:242681

TITLE:

Controlled release of sildenafil delivered by

sublingual or buccal administration

INVENTOR(S):

El-Rashidy, Ragab

PATENT ASSIGNEE(S):

Pentech Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 24 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE	APPLICATION NO.	DATE
A1 20000921	WO 2000-US6662	20000314 <
AM, AT, AU, AZ,	BA, BB, BG, BR, BY, CA	A, CH, CN, CR, CU,
DK, DM, DZ, EE,	ES, FI, GB, GD, GE, GH	I, GM, HR, HU, ID,
IS, JP, KE, KG,	KP, KR, KZ, LC, LK, LE	<pre> . LS, LT, LU, LV, </pre>
MG, MK, MN, MW,	MX, NO, NZ, PL, PT, RO	), RU, SD, SE, SG,
SL, TJ, TM, TR,	TT, TZ, UA, UG, UZ, VI	I, YU, ZA, ZW, AM,
KG, KZ, MD, RU,	TJ, TM	
KE, LS, MW, SD,	SL, SZ, TZ, UG, ZW, AT	BE, CH, CY, DE,
FI, FR, GB, GR,	IE, IT, LU, MC, NL, PT	SE, BF, BJ, CF,
CM, GA, GN, GW,	ML, MR, NE, SN, TD, TO	3
A1 20020116	EP 2000-916328	20000314 <
CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU	J, NL, SE, MC, PT,
LT, LV, FI, RO		
).:	US 1999-268957 A	19990316 <
•	WO 2000-US6662 W	20000314 <
	A1 20000921 AM, AT, AU, AZ, DK, DM, DZ, EE, IS, JP, KE, KG, MG, MK, MN, MW, SL, TJ, TM, TR, KG, KZ, MD, RU, KE, LS, MW, SD, FI, FR, GB, GR, CM, GA, GN, GW, A1 20020116	A1 20000921 W0 2000-US6662  AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA DK, DM, DZ, EE, ES, FI, GB, GD, GE, GE IS, JP, KE, KG, KP, KR, KZ, LC, LK, LE MG, MK, MN, MW, MX, NO, NZ, PL, PT, RC SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN KG, KZ, MD, RU, TJ, TM KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT FI, FR, GB, GR, IE, IT, LU, MC, NL, PT CM, GA, GN, GW, ML, MR, NE, SN, TD, TG A1 20020116 EP 2000-916328 CH, DE, DK, ES, FR, GB, GR, IT, LI, LU LT, LV, FI, RO D.: US 1999-268957 A

AB Disclosed is a controlled release compn. contg. sildenafil for delivery via the sublingual or buccal routes. In addn. to sildenafil, the compn. includes an osmotic agent, a swellable hydrophilic carrier, and a water-dispersible polymer. A tablet contained sildenafil citrate 20, ascorbic acid 3, citric acid 2, microcryst. cellulose 22.7, Mg stearate 1.2, hydroxypropyl Me cellulose 5, D&C Yellow Aluminum Lake 0.1, aspartame 1, and mannitol 21 mg.

 buccal administration)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 21 OF 42 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2000:666601 HCAPLUS

DOCUMENT NUMBER:

133:256811

TITLE: Pharmaceutical compositions containing

dopamine agonists in combination with nitric oxide

donors for treating and/or preventing sexual

dysfunctions

INVENTOR(S):
PATENT ASSIGNEE(S):

Garvey, David S. Nitromed, Inc., USA PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

SOURCE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
    WO 2000054773
                     A1
                           20000921
                                        WO 2000-US3709 20000310 <--
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            CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
            IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
            MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
            SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                       US 1999-123920P P 19990312 <--
```

OTHER SOURCE(S): MARPAT 133:256811

AΒ The present invention is directed to novel compns. comprising at least one dopamine agonist in combination with at least one nitric oxide donor (i.e. compds. that donate, transfer or release nitric oxide, elevate endogenous levels of endothelium-derived relaxing factor, stimulate endogenous synthesis of nitric oxide or are substrates for nitric oxide synthase). The novel compns. may optionally comprise at least one therapeutic agent, such as, a vasoactive agent, an antiemetic agent, and mixts. thereof. The dopamine agonist is preferably apomorphine. The present invention is also directed to methods for treating and/or preventing sexual dysfunctions and/or enhancing sexual responses in patients. In other embodiments, the present invention is directed to methods treating or preventing neurodegenerative diseases, mitochondrial diseases, spinal cord injury, central or psychostimulant addiction, senile dementia, circulatory disorders, cardiovascular disorders, hyperprolactinemia or myopia. compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit (no data).

· IT 139755-83-2, Sildenafil

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions) 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2002 ACS L25 ANSWER 22 OF 42

4

ACCESSION NUMBER:

2000:645819 HCAPLUS

DOCUMENT NUMBER:

133:227820

TITLE:

Pharmaceutical compositions for treating

erectile dysfunction containing a melanocortin receptor agonist and a cyclic-GMP-specific

phosphodiesterase inhibitor or an .alpha.-adrenergic

receptor antagonist Stoner, Elizabeth

INVENTOR(S): PATENT ASSIGNEE(S):

Merck & Co., Inc., USA; Waldstreicher, Joanne

SOURCE:

PCT Int. Appl., 25 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.				KI	ND	DATE			A	PPLI	CATI	и ис	ο.	DATE			
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	***		AE,	AL,	AM,	AT,	AU,	AZ,							CH,			
															HR, LU,			
			MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,
							TT, RU,			UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,						BE,			
							GB, GN,								SE,	BF,	ВJ,	CF,
	EP	1161	255		A:	2	2001	1212		E	P 20	00-9	1608	1	2000			
		R:					DK, FI,		FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
PRIOR	RITY	APP	•		•	ъ,	11,	Ю	1	US 1	999-	1232	44P	P	1999	308	<	
									1	WO 2	000-	US57:	11	W	2000	0303	<	

AΒ The present invention provides for a method for the treatment of erectile dysfunction in a male or female human subject in need of such treatment comprising administration of a therapeutically effective amt. of an agonist of the melanocortin receptor in combination with a therapeutically effective amt. of a cyclic-GMP-specific phosphodiesterase inhibitor or an alpha-adrenergic receptor antagonist. Further, the present invention provides for pharmaceutical compns. useful in the methods of the present invention, as well as a method of manuf. of a medicament useful for treating erectile dysfunction. Effect of the combination of 20 mg/kg of the invention compds. was tested in rats. A hard gelatin capsule

contained a melanocortin receptor agonist 5, and a type V phosphodiesterase inhibitor  $10~\mathrm{mg}$ .

IT 171599-83-0, Sildenafil citrate

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. for treating erectile dysfunction contg. melanocortin receptor agonist and cyclic-GMP-specific phosphodiesterase inhibitor or .alpha.-adrenergic receptor antagonist)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

L25 ANSWER 23 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:610555 HCAPLUS

DOCUMENT NUMBER:

133:168355

TITLE:

Compositions comprising bupropion for the

treatment of premature ejaculation

INVENTOR(S):

Grassler, Frank Peter Glaxo Group Limited, UK

PATENT ASSIGNEE(S): SOURCE:

Glaxo Group Limited, UK Brit. UK Pat. Appl., 11 pp.

CODEN: BAXXDU

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

KIND PATENT NO. DATE APPLICATION NO. \_\_\_\_\_\_ GB 2340037 20000216 **A**1 GB 1999-17346 19990726 <--PRIORITY APPLN. INFO.: US 1998-94701P P 19980730 <--

A compn. comprising bupropion or physiol. acceptable salts, AΒ solvates, or enantiomers thereof, is used for the treatment of premature ejaculation that is either caused by a phys. disorder or that is induced by a cGMP phosphodiesterase inhibitor or a cGMP phosphodiesterase V inhibitor, such as sildenafil. The compn. may comprise bupropion and sildenafil for the treatment of erectile dysfunction and sildenafil-induced premature ejaculation.

139755-83-2, Sildenafil ΙT

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (bupropion for treatment of premature ejaculation induced by cGMP phosphodiesterase inhibitor)

RN

139755-83-2 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 24 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:608551 HCAPLUS

DOCUMENT NUMBER: 133:213151

TITLE: Pharmaceutical compositions and methods for

improved delivery of hydrophobic therapeutic agents

INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA PCT Int. Appl., 98 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PAT	CENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	ο.	DATE			
WO	2000	0500	07	A	1	2000	0831		W.	0 20	 U-00	S165		2000	0105	<	
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		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JΡ,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	MT									
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG				
US	6294	192		В	1	2001	0925		U	S 19	99-2	5865	4	1999	0226		

EP 1158959 A1 20011205 EP 2000-901394 20000105 <-R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

US 2002012680 A1 20020131 US 6451339 B2 20020917 US 2001-898553 20010702 <--

PRIORITY APPLN. INFO.:

US 1999-258654 A 19990226 <--WO 2000-US165 W 20000105 <--

The present invention relates to triglyceride-free pharmaceutical compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon diln. with an aq. solvent, the compn. forms a clear, aq. dispersion of the surfactants contg. the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A pharmaceutical compn. contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT 171599-83-0, Sildenafil citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2-\text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L25 ANSWER 25 OF 42
                         HCAPLUS COPYRIGHT 2002 ACS
                             2000:553395 HCAPLUS
ACCESSION NUMBER:
                             133:155456
DOCUMENT NUMBER:
TITLE:
                            Topical sprays containing film-forming polymers
                            Lulla, Amar; Malhotra, Geena; Raut, Preeti
INVENTOR(S):
                            Cipla Limited, India
PATENT ASSIGNEE(S):
SOURCE:
                            PCT Int. Appl., 25 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
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                                DATE
                                                 APPLICATION NO.
                                                                     DATE
                         ____
                                                 WO 2000-GB366
     WO 2000045795
                          A2
                                20000810
                                                                     20000207 <--
     WO 2000045795
                          ΑЗ
                                20010809
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     BR 2000007997
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                          Α
                                                 BR 2000-7997
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                                20011107
                                                 EP 2000-902727
     EP 1150661
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                                                                     20000207 <--
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
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                         Α
                                                 ZA 2000-5727
                                                                     20001017 <--
     NO 2001003815
                          Α
                                20011002
                                                 NO 2001-3815
                                                                     20010803 <--
PRIORITY APPLN. INFO.:
                                              IN 1999-BO92
                                                                 Α
                                                                    19990205 <--
                                              IN 1999-BO93
                                                                 Α
                                                                    19990205 <--
                                                                    19990520 <--
                                              IN 1999-B0382
                                                                 Α
                                              IN 1999-B0582
                                                                 Α
                                                                     19990817 <--
                                              WO 1999-GB2998
                                                                 W
                                                                    19990909 <--
                                                                    20000113 <--
                                              IN 2000-BO43
                                                                 Α
                                              IN 2000-BO44
                                                                 A
                                                                     20000113 <--
                                                                 W 20000207 <--
                                              WO 2000-GB366
AB
     A topical, medicinal spray compn. comprises one or more
     medicaments in a volatile vehicle, and one or more film-forming polymers.
     When sprayed on a topical site, the compn. forms a stable,
     breathable film from which the medicaments are transdermally available.
     Preferably, the compn. comprises 0.1-30 % of one or more
     medicaments, 0.1-15 % film-forming polymers, 0.1-10 % solubilizers, 0.1-8
     % permeation enhancers, 1.0-10 % plasticizers, and a vehicle q.s. 100 %.
     The invention includes a spray dispenser contg. the topical compn
        An aerosol contained estradiol 2, PVP K-30 6, vinylacetate-
     vinylpyrrolidone copolymer 4, vitamin E 1, polyethylene glycol-6000 2,
     polyethylene glycol 3, dichlorodifluoromethane 24.9, and
     trichloromonofluoromethane 57.1 %.
     139755-83-2, Sildenafil
ΙT
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (topical sprays contg. film-forming polymers)
RÑ
     139755-83-2 HCAPLUS
     Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-
CN
```

d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX

NAME)

L25 ANSWER 26 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:534979 HCAPLUS

DOCUMENT NUMBER: 133:140265

TITLE: Desmethylsildenafil compositions and methods

INVENTOR(S): Yelle, William E.
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

```
PATENT NO.
                           KIND
                                  DATE
                                                    APPLICATION NO.
                           ____
                                  _____
                                                    _____
                                                                         _____
      WO 2000044363
                           A2
                                  20000803
                                                    WO 2000-US1470
                                                                         20000121 <--
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               CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
                BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                                 US 1999-117611P P 19990128 <--
AΒ
      Methods and compns. are disclosed utilizing desmethylsildenafil for the
      treatment of sexual dysfunction in humans. Desmethylsildenafil exhibits a
      lessened liability toward drug-drug interactions than sildenafil and a
      more predictable dosing regimen than sildenafil. Desmethylsildenafil is
      also useful for the treatment of angina, hypertension, heart failure or
      atherosclerosis. Tablets were prepd. contg. 20 mg desmethylsildenafil.
      139755-82-1, Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-
IT
      propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
          (desmethylsildenafil oral pharmaceuticals for sexual dysfunction
          treatment)
RN
      139755-82-1 HCAPLUS
      Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-
CN
      d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)
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L25 ANSWER 27 OF 42 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2000:513484 HCAPLUS

DOCUMENT NUMBER: 133:125303

TITLE: Compositions and methods for mucosal

delivery

INVENTOR(S): Chen, Li-Lan H.; Pfister, William R.; Renn, Donald W.;

Buranachokpaisan, Thitiwan; Osborne, James; Tan, Hock

Seng; Tao, Li

PATENT ASSIGNEE(S): Lavipharm Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                                         DATE
                                KIND
                                                              APPLICATION NO.
                                                                                        DATE
       WO 2000042992
                                 A2
                                         20000727
                                                              WO 1999-US31327
                                                                                       19991230 <--
                                         20001019
       WO 2000042992
                                 A3
                  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
                  CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
                  BY, KG, KZ, MD, RU, TJ, TM
            RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
       BR 9917089
                                         20011016
                                                              BR 1999-17089
                                 Α
                                                                                        19991230 <--
       EP 1143940
                                         20011017
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                                 Α2
                                                                                        19991230 <--
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                   IE, SI, LT, LV, FI, RO
       NO 2001003536
                                         20010920
                                                              NO 2001-3536
                                 Α
                                                                                        20010717 <--
PRIORITY APPLN. INFO.:
                                                          US 1999-116823P
                                                                                   Ρ
                                                                                       19990121 <--
                                                          US 1999-434878
                                                                                   Α
                                                                                       19991105 <--
                                                          WO 1999-US31327
                                                                                 W 19991230 <--
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AB A dosage unit comprising a water-sol. hydrocolloid and a mucosal surface-coat-forming film, such film including an ED of active agent. In the dosage unit sildenafil citrate, nicotine, hydromorphone, oxybutynin or estradiol are used as active agents. A compn. was prepd. contg.Methocel E5 21.06, propylene glycol 1.0, Aspartame 0.8, peppermint 1.0, citric acid 0.7, Cremphor EL40 1.0, benzoic acid 0.013, dyes qs. and water 74.42 wt.%.

IT 171599-83-0, Sildenafil citrate

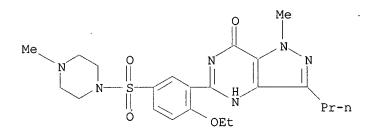
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. for mucosal delivery)

RN171599-83-0 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM1

139755-83-2 CRN CMF C22 H30 N6 O4 S



CM

CRN 77-92-9 C6 H8 O7 CMF

L25 ANSWER 28 OF 42 HCAPLUS COPYRIGHT 2002 ACS

2000:464581 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 133:94529

TITLE:

Apomorphine and sildenafil composition

INVENTOR(S): El-rashidy, Ragab

PATENT ASSIGNEE(S): Pentech Pharmaceuticals, Inc., USA

U.S., 10 pp. CODEN: USXXAM SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT 1	10.		KII	ND	DATE			A	PPLI	CATI	ои ис	Э.	DATE			
				- <del>-</del>	<del>-</del>											
US 60873	362		Α		2000	0711		U	S 19	99-2	7003	5	19990	0316		
WO 20000	)547	74	A.	1	2000	0921		W	20	00-U	S665	4	20000	0314	<	
W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,
	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,
	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,
	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 2000-916324 20000314 <--EP 1173178 A1 20020123 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 2000009016 20020416 BR 2000-9016 20000314 <--Α NO 2001004519 Α 20011025 NO 2001-4519 20010917 <--

PRIORITY APPLN. INFO .:

US 1999-270035 Α 19990316 <--WO 2000-US6654 W 20000314 <--

The treatment of sexual dysfunction in human patients by an oral therapy AB regimen of administration of apomorphine and sildenafil is disclosed. This treatment optimizes the efficacy of each drug and substantially minimizes the undesirable side effects assocd. individually therewith. Apomorphine and sildenafil can be co-administered with a combination dosage unit or administered sequentially in sep. dosage units, substantially prior to sexual activity. Other erectogenic agents can be administered along with apomorphine and sildenafil.

IT 139755-83-2, Sildenafil 171599-83-0, Sildenafil citrate RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

> (tablets contg. apomorphine and sildenafil and erectogenic agents for treatment of sexual dysfunction)

RN 139755-83-2 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

171599-83-0 HCAPLUS RN

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 29 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:456860 HCAPLUS

DOCUMENT NUMBER: 133:79357

TITLE: Dosage forms comprising porous particles

INVENTOR(S): Wong, Patrick; Edgren, David; Dong, Liang-chang;

Pollock-Dove, Crystal

PATENT ASSIGNEE(S): Alza Corp., USA; Allan, Jamie

SOURCE: PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	CENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	٥.	DATE			
	2000	0206			 1	2000	0706			2 10	00 0		 c	1000			
WO	2000												-				
	W:	ΑE,	ΑL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	'IS,	JP,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
			•			MD,		•									
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
						GN,											
US	6342	249		В	1	2002	0129		U	S 19	99-4	7008	8 .	1999	1222	<	
EP	1140	027		Α	1	2001	1010		E	P 19	99-9	6245	9	1999	1223	<	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										
JP	2002	5333	80	T	2	2002	1008		J	P 20	00-5	9060	9	1999	1223	<	

US 2002086055 A1 20020704 PRIORITY APPLN. INFO.:

US 2001-22300 20011214 <-US 1998-113559P P 19981223 <-US 1998-113615P P 19981223 <-US 1998-113750P P 19981223 <-US 1999-470088 A1 19991222 <-WO 1999-GB4426 W 19991223 <--

The invention relates to a dosage form comprising a plurality of particles AB having interior pores and a liq., active agent formulation in the pores, the particles being compactable and adapted to retain substantially all of the liq. active agent formulation within the pores during the compacting process. The dosage forms may be in the forms of unitary oral forms for immediate release of active agent, prolonged delivery forms, or controlled delivery forms. All forms involve certain absorbent materials having prescribed characteristics, particularly spray-dried calcium hydrogen phosphate and magnesium aluminometasilicate. Sildenafil citrate 70 g was mixed with 280 g propylene glycol and the mixt. was added to 550 g CaHPO4 particles. Low-substituted hydroxypropyl cellulose 100 g was added to the above blend and the resulting formulation was compressed to give tablets (contg. 25 mg sildenafil citrate each), which were film coated with a compn. contg. hydroxypropyl Me cellulose and polyethylene glycol at the wt. ratio of 75 to 25 parts.

IT 171599-83-0, Sildenafil citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oral dosage forms comprising porous absorbent particles)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 30 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:420947 HCAPLUS

DOCUMENT NUMBER:

133:63952

TITLE:

Compositions containing nitric oxide donor

and phosphodiesterase inhibitors for the treatment of

anorectal disorders

INVENTOR(S):

Parks, Thomas P.; Mak, Vivien; Lee, Jung-chung; Lee,

Charles

PATENT ASSIGNEE(S):

Cellegy Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 45 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE:

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

	PATENT NO.		KI	ND	DATE			7	APPLI	CATI	ON N	0.	DATE					
		2000 2000								V	vo 19	99 <b>-</b> U	S294	59	1999	1213	<	
		₩:	AE, CZ, IN, MD,	AL, DE, IS, MG,	AM, DK, JP, MK,	AT, DM, KE, MN,	AU, EE, KG, MW,	AZ, ES, KP, MX,	FI, KR, NO,	GB, KZ, NZ,	GD, LC, PL,	GE, LK, PT,	GH, LR, RO,	GM, LS, RU,	CH, HR, LT, SD, ZA,	HU, LU, SE,	ID, LV, SG,	IL, MA, SI,
		RW:	BY, GH, DK,	KG, GM, ES,	KZ, KE, FI,	MD, LS, FR,	RU, MW,	TJ, SD, GR,	TM SL, IE,	SZ,	TZ,	UG, MC,	ZW, NL,	AT,	BE, SE,	CH,	CY,	DE,
	BR EP	2000 9916 1143 1143	0217 162 956	63	A A A	5 2	2000 2001	0703 0904 1017	·	I	AU 20 BR 19	00-2 99-1	1763 6162		1999	1213	<	
	NO	R: 2001	AT, IE,	BE, LT,	CH, FI	DE,	DK,	ES,							NL,			PT,
PRIO		Y APP								US : US : US :	1998- 1999- 1999-	1123 1399 1553	25P 16P 18P	P P P	1998; 1999; 1999;	1214 0617 0921	< <	
AB	Cor	mons.	and	met'	hods	for	the	tre	atme	nt d	of an	orec	tal	disc	rder	s are	o nr	owided

- Compns. and methods for the treatment of anorectal disorders are provided in which certain combinations of NO donors, PDE inhibitors, superoxide (02-) scavengers, .beta.-adrenergic agonists, cAMP-dependent protein kinase activators, .alpha.1-adrenergic antagonists, L-type Ca2+ channel blockers, estrogens, ATP-sensitive K+ channel activators and smooth muscle relaxants are used. 7A topical compn. contained sildenafil 0.05-1, white petrolatum 75, paraffin wax 4, lanolin 14, and sorbitan sesquioleate 2, and propylene glycol 4% by wt.
- 139755-83-2, Sildenafil IΤ
  - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. contg. nitric oxide donor and phosphodiesterase inhibitors for treatment of anorectal disorders)
- RN 139755-83-2 HCAPLUS
- Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 31 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:290577 HCAPLUS

DOCUMENT NUMBER:

132:329928

TITLE:

Cyclooxygenase inhibition- and phosphodiesterase

inhibition-based methods for identifying

antineoplastic compds., and pharmaceutical compns. Liu, Li; Zhu, Bing; Han, Li; Thompson, Joseph W.;

INVENTOR(S): Pamukeu, Rifat; Piazza, Gary A.

PATENT ASSIGNEE(S):

Cell Pathways, Inc., USA

SOURCE:

Eur. Pat. Appl., 65 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
		EP 1999-308129	19991014 <
-	CH, DE, DK, ES, LT, LV, FI, RO	FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,
US 6200771	B1 20010313	US 1998-173375	19981015
	A 20001010		
US 2002009764	A1 20020124	US 1999-414628	19991008
NO 9904995	A 20000417	NO 1999-4995	19991014 <
ZA 9906508	A 20000418	ZA 1999-6508	
AU 9954010	A1 20000420	AU 1999-54010	19991014 <
EP 1161943	A2 20011212	EP 2001-119687	19991014 <
R: AT, BE	, CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI	, LT, LV, FI, RO		
AT 214920	E 20020415	AT 1999-308129	19991014 <
		CN 1999-121818	
		JP 1999-330364	
PRIORITY APPLN. INFO	O.:	US 1998-173375 A	19981015 <
		US 1999-366003 A	19990803 <
		US 1999-414628 A	19991008 <
		EP 1999-308129 A3	19991014 <

AΒ A pharmaceutical compn. is disclosed for the treatment of neoplasia which comprises a pharmaceutically acceptable carrier and a compd. selected by (1) detg. the cyclooxygenase (COX) inhibitory activity of the compd; (2) detg. the phosphodiesterase (PDE) inhibition activity of the compd., in which the PDE is characterized by (a) cGMP specificity over cAMP, (b) pos. cooperative kinetic behavior in the presence of cGMP substrate, (c) submicromolar affinity for cGMP, and (d) insensitivity to incubation with purified cGMP-dependent protein kinase; and (3) selecting the compd. that has COX inhibitory activity lower than the PDE activity

for treating neoplasia. Also provided is a method for selecting a compd. for the treatment of neoplasia which comprises (1) detg. the COX inhibitory activity of the compd.; (2) detg. the PDE2 inhibition activity of the compd.; and (3) selecting the compd. that has COX inhibitory activity lower than the PDE activity for treating neoplasia. Isolation of a novel cGMP-specific PDE (appearing to be a novel conformation of PDE2) from neoplastic cells is described.

IT 139755-83-2, Sildenafil

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(cyclooxygenase inhibition- and phosphodiesterase inhibition-based methods for identifying antineoplastic compds., and pharmaceutical compns.)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 32 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:277834 HCAPLUS

DOCUMENT NUMBER: 132:288784

TITLE: The use of dopaminergic agents in the management of

sexual dysfunction

INVENTOR(S): Karpati, George; Molnar, Maria Jutka

PATENT ASSIGNEE(S): Mcgill University, Can. SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PΆΊ	ENT I	NO.		KI	ND	DATE			A	PPLI	CATI	и ис	ο.	DATE			
	2000								W	0 19	99 <b>-</b> C	A977		1999	1020	<	
WO	2000 W:	AE,	AL,	AM,	AT,	AU,	AZ,							CH,			
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	HR, LT,	LU,	LV,	MA,
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,					SD, YU,			
	RW:	GH,	GM,	KE,	LS,		SD,	SL,	SZ,					BE,			
						GB,								SE,	BF,	ВJ,	CF,

20000508 AU 1999-63218 AU 9963218 Α1 19991020 <--PRIORITY APPLN. INFO.: CA 1998-2251255 A 19981020 <--WO 1999-CA977 W 19991020 <--

This invention relates to a new use of dopaminergic agonists for improving AΒ sexual function, particularly erectile function. Preferred agonists are pramipexole and ropinirole which present much less side effects than agonists of previous generations. Pramipexole has been further used successfully in combination with sildenafil. A new pharmaceutical compn. comprising both a vasodilating agent such as sildenafil and a dopaminergic agonist is described and claimed.

139755-83-2, Sildenafil IT

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of dopaminergic agents in the management of sexual dysfunction) 139755-83-2 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 33 OF 42 HCAPLUS COPYRIGHT 2002 ACS

2000:190932 HCAPLUS ACCESSION NUMBER:

132:227470 DOCUMENT NUMBER:

TITLE: Pharmaceutical compositions containing

phentolamine, papaverine, and alprostadil for the

treatment of male erectile dysfunction

Podolski, Joseph S. INVENTOR(S): Zonagen, Inc., USA PCT Int. Appl., 37 pp. PATENT ASSIGNEE(S):

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PAI	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	٥.	DATE			
									_								
WO	2000	0152	33	Α	1	2000	0323		W	0 19	99-U	S215	13	1999	0917	<	
	W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
		JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
		MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,
		TM,	TR,	TT,	UA,	ŪG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,
		MD,	RU,	ТJ,	TM												
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				

AU 9959270 20000403 AU 1999-59270 Α1 19990917 <--EP 1999-946977 EP 1112075 Α1 20010704 19990917 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002524520 20020806

JP 2000-569817 19990917 <--T2 PRIORITY APPLN. INFO .: US 1998-154677 A2 19980917 <--WO 1999-US21513 W 19990917 <--

AB Improved drug compns. and methods useful in the treatment of male erectile dysfunction. An optimized mixt. of the drugs phentolamine mesylate, papaverine hydrochloride, and alprostadil in a buffer contg. L-arginine and glycine is to be injected into the penile tissue to produce an erection in otherwise impotent men. An injection soln. contained prostaglandin El 0.005, phentolamine mesylate 5.0, papaverine. HCl 7.5, L-arginine 0.35, glycine 7.5, mannitol 24, benzyl alc. 8.4 mg., final pH = 4.01. Male patients who failed oral treatment were injected with 0.5 mL of the above soln. into the corpus cavernosum through the dorsal aspect of penis. The % of the patients able to achieve a full erection following the injection was 42%.

139755-83-2, Sildenafil ΙT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. contq. phentolamine, papaverine, and alprostadil for treatment of male erectile dysfunction)

RN 139755-83-2 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2002 ACS L25 ANSWER 34 OF 42

ACCESSION NUMBER: 2000:84582 HCAPLUS

DOCUMENT NUMBER: 132:141949

TITLE: Preparation of aqueous clear solution dosage forms

with bile acids

Yoo, Seo Hong INVENTOR(S):

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004875	A2	20000203	WO 1999-US12840	19990720 <
WO 2000004875	<b>Z</b> - Z	20010503		

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AB Compns. for pharmaceutical and other uses for prepg. clear aq. solns. contg. bile acids which do not form ppts. over selected ranges of pH values of the aq. soln. and methods of making such solns. are disclosed. The compns. of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and a high mol. wt. aq. sol. starch conversion product. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. all pH values obtainable in an aq. system. The compn., according to some embodiments, may further contain a pharmaceutical compd. in a pharmaceutically effective amt. A pharmaceutical soln. which did not show any pptn. at any pH contained 3.alpha.-7.beta.-dihydroxy-5.beta.-cholanic acid 200 mg, maltodextrin 5, preservatives q.s., flavoring agent q.s., sweetener q.s., and water q.s. 100 mL.

IT 139755-83-2, Sildenafil

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of aq. clear soln. dosage forms with bile acids)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 35 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:83199 HCAPLUS

DOCUMENT NUMBER:

132:113122

TITLE:

Water-soluble tablet containing sildenafil

INVENTOR(S):
PATENT ASSIGNEE(S):

Struengmann, Thomas Hexal A.-G., Germany Ger. Offen., 4 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A1 20000203 DE 1998-19834507 19980731 DE 19834507 A1 20000217 WO 1999-EP5464 WO 2000007596 19990730 <--AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9954156 A1 20000228 AU 1999-54156 19990730 <--PRIORITY APPLN. INFO.: DE 1998-19834507 A 19980731 <--W 19990730 <--WO 1999-EP5464

AB Sildenafil (Viagra) or 1 of its pharmaceutically acceptable salts is administered in a water-sol. tablet formulation to hasten its onset of action in inducing an erection. The compn. may addnl. contain a cytochrome P 450 inhibitor to decrease the rate of sildenafil metab. and increase its plasma concn. The compn. is resorbed well, and patient compliance is good.

IT 139755-83-2, Sildenafil 171599-83-0, Sildenafil citrate 252920-86-8 255885-45-1 255885-46-2

255885-47-3 255885-48-4 255885-49-5

255885-50-8 255885-51-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(water-sol. tablet contg. sildenafil)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RN 252920-86-8 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 255885-45-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM

CRN 7664-93-9 CMF H2 O4 S

RN

255885-46-2 HCAPLUS Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-methyl-7-oxo-3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, phosphate (9CI) (CA INDEX NAME)

CM1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM

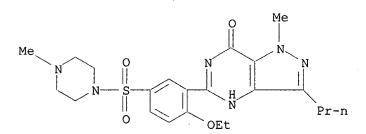
7664-38-2 CRN H3 O4 P CMF

RN 255885-47-3 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S



CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 255885-48-4 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 255885-49-5 HCAPLUS

CN Butanedioic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 110-15-6 CMF C4 H6 O4

 ${\tt HO_2C-CH_2-CH_2-CO_2H}$ 

RN 255885-50-8 HCAPLUS

CN L-Ascorbic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-

pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 50-81-7 CMF C6 H8 O6

Absolute stereochemistry.

RN 255885-51-9 HCAPLUS

CN Carbonic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 463-79-6 CMF C H2 O3

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L25 ANSWER 36 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:83198 HCAPLUS

DOCUMENT NUMBER:

132:113121

TITLE:

SOURCE:

Transmucosal therapeutic system for the use of

sildenafil

INVENTOR(S):
PATENT ASSIGNEE(S):

Struengmann, Thomas Hexal A.-G., Germany Ger. Offen., 4 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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                                                                           19990730 <--
PRIORITY APPLN. INFO.:
                                                  DE 1998-19834506 A 19980731 <--
                                                 WO 1999-EP5465 W 19990730 <--
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AB Sildenafil (Viagra) or 1 of its pharmaceutically acceptable salts is administered transmucosally as a spray, cream, gel, powder, or drops to hasten its onset of action in inducing an erection. This mode of administration improves the drug bioavailability and thereby decreases the dosage required and the risk of side effects. The compn. may addnl. contain a cytochrome P 450 inhibitor to decrease the rate of sildenafil metab. and increase its plasma concn. The compn. does not irritate the mucosa.

IT 139755-83-2, Sildenafil 171599-83-0, Sildenafil citrate

252920-86-8 255885-45-1 255885-46-2

255885-47-3 255885-48-4 255885-49-5

255885-50-8 255885-51-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(transmucosal therapeutic system for use of sildenafil)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-

d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$${\rm CO_2H}\atop | {\rm HO_2C-CH_2-C-CH_2-CO_2H}\atop | {\rm OH}$$

RN 252920-86-8 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

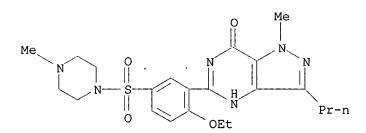
## HCl

RN 255885-45-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S



CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 255885-46-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, phosphate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2

CMF C22 H30 N6 O4 S

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 255885-47-3 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 255885-48-4 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 255885-49-5 HCAPLUS

CN Butanedioic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

2 CM

CRN 110-15-6 CMF C4 H6 O4

 ${\tt HO_2C-CH_2-CH_2-CO_2H}$ 

RN 255885-50-8 HCAPLUS

L-Ascorbic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-CN pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 50-81-7

CMF C6 H8 O6

Absolute stereochemistry.

RN 255885-51-9 HCAPLUS

CN Carbonic acid, compd. with 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 463-79-6 CMF C H2 O3

L25 ANSWER 37 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:763835 HCAPLUS

DOCUMENT NUMBER: 132:26843

TITLE: Compounds, compositions and methods for

treating erectile dysfunction

INVENTOR(S): Shoemaker, James D.

PATENT ASSIGNEE(S): Saint Louis University, USA

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

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APPLICATION NO.
     PATENT NO.
                     KIND DATE
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                            19991202
                                          WO 1999-US11589 19990526 <--
                      A2
     WO 9960985
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            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
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             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1998-84849
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                                          AU 1999-43141
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                      Α1
                                        US 1998-84849 A 19980526 <--
PRIORITY APPLN. INFO.:
                                       WO 1999-US11589 W 19990526 <--
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Vasoactive compds. are described for the treatment of erectile dysfunction AΒ and impotence. The compds. are reaction products of an anionic or neg. charged vasoactive or erection-inducing component and a cationic or pos. charged vasoactive or erection-inducing component. These components are combined as acids and bases to form an org. salt or ionically bonded compd. The compds. have advantageous soly. characteristics and efficacy. A compd. of the invention is combined with a pharmaceutical vehicle to form a compn. which preferably includes an emulsifier. A local anesthetic and/or androgenic steroids may also be included. Compns. of the invention may also include more than vasoactive org. salt compd. The . compn. can be advantageously formulated and administered to allow self-adjusted dosing, while minimizing or preventing overdosing. Phentolamine alprostadilate and papaverine alprostadilate, both existing as compds., not mixts., were prepd. and formulated into pharmaceutical compns.

IT 139755-83-2, Sildenafil

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (phentolamine alprostadilate and papaverine alprostadilate compns. for treatment of erectile dysfunction)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L25 ANSWER 38 OF 42 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:659253 HCAPLUS

DOCUMENT NUMBER:

131:291292

TITLE:

Pharmaceutical compositions comprising

L-arginine, ginseng and Ginkgo biloba for enhancing

blood circulation

INVENTOR(S):

Wuh, Hank C. K.; Trant, Aileen S.; Kwock, Denny W.

PATENT ASSIGNEE(S):

The Daily Wellness Company, USA

SOURCE:

PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                      KIND DATE
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             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
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             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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PRIORITY APPLN. INFO.:
                                          US 1998-80009P P 19980403 <--
                                                           P 19980717 <--
                                          US 1998-93164P
                                         · WO 1999-US7427
                                                           W 19990402 <--
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The invention provides methods and compns. for maintaining a state of AΒ wellness in a human by providing a dietary supplement comprising L-arginine, in combination with ginseng and Ginkgo biloba and/or addnl. nutritional supplements. The invention provides a unique blend of components that, in combination, synergistically bestow cardiac and sexual wellness upon a human when taken regularly as a dietary supplement alone, or in combination with a pharmaceutical compn. (e.g. Viagra), which facilitates smooth muscle relaxation and vascular dilatation. A dietary supplement in a gel cap contained vitamin A 5000, vitamin E 30 IU, vitamin C 60, thiamin 1.5, riboflavin 1.7, niacin 20, vitamin B6 2, pantothenic acid 10, zinc 15, L-arginine 3000, American ginseng (5% ginsenosides) 100 mg, Korean ginseng (30% standardized) 100, Ginkgo biloba (24% flavone glycosides, 6% terpene lactones) 50 mg, folate 400, vitamin B12 6, biotin 300, selenium 70 .mu.g, and excipients q.s. Efficacy of the compn. in men with erectile dysfunction is reported.

171599-83-0, Sildenafil citrate IT

> RL: BAC (Biological activity or effector; except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. comprising arginine, ginseng and Ginkgo biloba for enhancing blood circulation)

RN 171599-83-0 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 39 OF 42 HCAPLUS COPYRIGHT 2002 ACS

6

ACCESSION NUMBER:

1999:622282 HCAPLUS

DOCUMENT NUMBER:

131:252588

TITLE:

Nitrosated and nitrosylated phosphodiesterase inhibitor compounds, compositions, and use

in treating sexual dysfunctions

INVENTOR(S):

Garvey, David S.; Saenz de Tejada, Inigo

PATENT ASSIGNEE(S):

Nitromed, Inc., USA

SOURCE:

U.S., 49 pp., Cont.-in-part of U.S. 5,874,437.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

3

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5958926	A	19990928	US 1998-145142	19980901	<
US 5874437	A	19990223	US 1996-740764	19961101	
US 6133272	. A	20001017	US 1999-241281	19990201	<
US 6172060	B1	20010109	US 1999-247296	19990210	<
US 6172068	B1	20010109	US 1999-247322	19990210	<
US 6177428	B1	20010123	US 1999-247321	19990210	<
US 6197782	B1	20010306	US 1999-247295	19990210	<
US 6197778	B1	20010306	US 1999-247320	19990210	<
US 6221881	B1	20010424	US 1999-247292	19990210	<
US 6232321	B1	20010515	US 1999-247293	19990210	<
US 6316457	B1	20011113	US 1999-247323	19990210	<
US 6211179	B1	20010403	US 1999-347426	19990706	<
WO 2000012076	A1	20000309	WO 1999-US20024	19990901	<
W: AE, AL,	AM, AT	, AU, AZ, BA	, BB, BG, BR, BY, CA	A, CH, CN,	CR, CU,

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CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
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             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
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                       A1
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         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                                          A2 19961101 <--
PRIORITY APPLN. INFO.:
                                        WO 1997-US19870 A2 19971031 <--
                                        US 1998-145142
                                                          A3 19980901 <--
                                        US 1999-387727
                                                          A1 19990901 <--
                                        WO 1999-US20024 W 19990901 <--
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OTHER SOURCE(S): MARPAT 131:252588

Disclosed are nitrosated and/or nitrosylated phosphodiesterase inhibitors having the formula NOn-(PDE inhibitor) (n = 1, 2). The invention also provides compns. comprising such compds. in a pharmaceutically acceptable carrier. The invention further provides a compn. comprising a therapeutically effective amt. of an phosphodiesterase inhibitor (PDE inhibitor), which can optionally be substituted with at least one NO or NO2 moiety, and 1-10-fold molar excess of a compd. that donates, transfers, or releases nitrogen monoxide as a charged species, i.e., nitrosonium (NO+) or nitroxyl (NO-), or as the neutral species, nitric oxide (NO.cntdot.) or which stimulates endogenous endothelium-derived relaxing factor prodn. The invention also provides compns. comprising such compds. in a pharmaceutically acceptable carrier. The invention also provides methods for treating sexual dysfunctions in males and females.

IT 139755-83-2D, Sildenafil, nitrosated and nitrosylated derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nitrosated and nitrosylated phosphodiesterase inhibitor compds.,
compns., and use in treating sexual dysfunctions)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 40 OF 42 HCAPLUS COPYRIGHT 2002 ACS 1999:401692 HCAPLUS ACCESSION NUMBER:

131:49481 DOCUMENT NUMBER:

Combination effective for the treatment of impotence TITLE:

Wyllie, Michael Grant INVENTOR(S): PATENT ASSIGNEE(S): Pfizer Products Inc., USA PCT Int. Appl., 40 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                       KIND DATE
                                               APPLICATION NO.
                                                                  DATE
                              _____
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     WO 9930697
                               19990624
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                                               WO 1998-IB1723
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                                                                   20000615 <--
                                            US 1997-69741P P 19971216 <--
PRIORITY APPLN. INFO.:
                                            WO 1998-IB1723 W 19981029 <--
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MARPAT 131:49481 OTHER SOURCE(S):

The invention relates to the treatment of erectile dysfunction with a AB combination of (1) a compd. selected from .alpha.-adrenergic receptor antagonists and (2) a compd. selected from agents which elevate cGMP levels. Sildenafil or a pharmaceutically acceptable salt thereof is preferred as the cGMP PDE elevator. Also included are compns. and kits comprising such impotence treating compds. For example, an oral compn. contains the combination of doxazosin mesylate and sildenafil citrate.

139755-83-2 171599-83-0, Sildenafil citrate

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(impotence treatment with .alpha.-adrenergic antagonists and cGMP level elevators)

RN

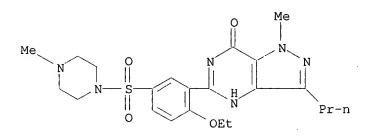
139755-83-2 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

171599-83-0 HCAPLUS RN

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

139755-83-2 CRN CMF C22 H30 N6 O4 S



CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2-\text{CO}_2\text{H}} \\ | \\ \text{OH} \end{array}$$

L25 ANSWER 41 OF 42 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1999:372055 HCAPLUS

DOCUMENT NUMBER: 131:23522

TITLE: Compositions for nasal administration Illum, Lisbeth; Watts, Peter James INVENTOR(S):

PATENT ASSIGNEE(S): Danbiosyst UK Limited, UK PCT Int. Appl., 41 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

## PATENT INFORMATION:

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PATENT NO.
                      KIND DATE
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                            19990610
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    EP 1035833
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    US 6342251
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PRIORITY APPLN. INFO.:
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                                                            19980313 <--
                                                         W 19981127 <--
                                        WO 1998-GB3572
                                        US 2000-586139
                                                        A1 20000602 <--
```

AB A compn. for the nasal delivery of a drug suitable for the treatment of erectile dysfunction to a mammal is adapted to provide an initial rise in plasma level followed by a sustained plasma level of the drug. Examples given were apomorphine in a pectin based formulation and a Pluronic F127 formulation.

IT 139755-83-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nasal formulations for erectile dysfunction)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 42 OF 42 HCAPLUS COPYRIGHT 2002 ACS

4

ACCESSION NUMBER:

1996:458027 HCAPLUS

DOCUMENT NUMBER:

125:105133

TITLE:

Bicyclic heterocyclic compounds for the treatment of impotence

INVENTOR(S):

Campbell, Simon Fraser

PATENT ASSIGNEE(S):

Pfizer Limited, UK; Pfizer Research and Development

Company, N.V./s.A.; Pfizer Inc.; Campbell, Simon,

Fraser

SOURCE:

PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA:	rent	NO.		KI	ND	DATE		APPLICATION NO.					DATE					
WO	9616	657		A1 1996		0606	606			199	5-EI	2406	- <b>-</b> 5	19951016		<		
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CA	2203	389		A	A	1996	0606			CA	199	5-22	2033	89	1995	1016	<	
EP	793498			A1 199			.9970910				EP 1995-935453					19951016		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB	, G	R, :	ΙE,	IT,	LI,	LU,	NL,	PT,	SE
JP	0951	2835		$\mathbf{T}^{2}$	2	1997	1222			JP	199	5-51	1810	8	1995	1016	<	
JP	2001	0487	87	A.	2	2001	0220			JP	2000	0-13	3319	7	1995	1016	<	
US	6100	270		Α		2000	8080			US	199	7-83	3667	1	1997	0522	<	
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									JΡ	199	6-5	1810	80	АЗ	1995	1016	<	
						WO	199	5-E	P406	65	W	1995	i016	<				

OTHER SOURCE(S): MARPAT 125:105133

AB 5-Arylpyrazolo[4,3-d]pyrimidin-7-ones, 6-arylpyrazolo[3,4-d]pyrimidin-4-ones, 2-arylquinazolin-4-ones, 2-arylpurin-6-ones and 2-arylpyrido[3,2-d]pyrimidin-4-ones, or a pharmaceutically acceptable salt thereof, or a pharmaceutical compn. contg. either entity, are used for the curative or prophylactic treatment of erectile dysfunction in males.

IT 148871-66-3 148871-67-4 148871-68-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (bicyclic heterocyclic compds. for the treatment of impotence)

RN 148871-66-3 HCAPLUS

CN Benzenesulfonamide, 3-(1-ethyl-4,7-dihydro-3-methyl-7-oxo-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-N-hexyl-4-propoxy- (9CI) (CA INDEX NAME)

Me- (CH<sub>2</sub>) 5-NH-S=0 
$$\stackrel{\text{C}}{\underset{\text{N}}{\bigvee}}$$
  $\stackrel{\text{Et}}{\underset{\text{N}}{\bigvee}}$   $\stackrel{\text{N}}{\underset{\text{N}}{\bigvee}}$   $\stackrel{\text{N}}{\underset{\text{Me}}{\bigvee}}$ 

RN 148871-67-4 HCAPLUS

CN Benzenesulfonamide, N-(cyclohexylmethyl)-3-(1-ethyl-4,7-dihydro-3-methyl-7-oxo-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-N-methyl-4-propoxy- (9CI) (CA INDEX NAME)

RN 148871-68-5 HCAPLUS

CN Benzenesulfonamide, N, N-diethyl-3-(1-ethyl-4,7-dihydro-3-methyl-7-oxo-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-propoxy- (9CI) (CA INDEX NAME)

## => d ibib abs hitstr 123 1-10

L23 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2002 ACS 2002:310983 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

136:379398

TITLE:

Experience with sildenafil in diabetes

AUTHOR(S):

Fedele, D.; Lamonica, M.; Bax, G.

CORPORATE SOURCE:

Dipartimento di Scienze Mediche e Chixurgiche,

SOURCE:

Universita di Padova, Padua, I-35137/ Italy Diabetes, Nutrition & Metabolism (2002), 15(1), 49-52

CODEN: DNMEEW; ISSN: 0394-3402

PUBLISHER: DOCUMENT TYPE: Editrice Kurtis s.r.l. Journal; General Review

LANGUAGE: English

A review. The high frequency of erectile dysfunction (ED) in Italy may be explained on one hand by the high incidence of such complications as neuropathy and vasculopathy, and by the high frequency of hypertension and related drugs as well as the advanced age diabetic subjects. The appearance of ED in diabetic subjects imposes a therapeutic regimen, which first consists of administering sildenafil, a drug that is easy to take and also highly tolerated, partly because of its minor side effects. It makes more c-GMP available by inhibiting PDE-5, and sexual performance is generally satisfactory. The best response to sildenafil is seen in subjects with psychogenic ED in which the incidence is 80%, while it is very low in diabetic subjects. The frequency of adverse-effects in diabetic and non-diabetic subjects is similar, the most common being flushing, headache, sinus congestion or discharge.

139755-83-2, Sildenafil

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (sildenafil for erectile dysfunction in diabetes mellitus patients)

RN

139755-83-2 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2002 ACS L23 ANSWER 2 OF 10

ACCESSION NUMBER:

2002:72805 HCAPLUS

DOCUMENT NUMBER:

136:139829

TITLE:

Compositions comprising sibutramine metabolites in

combination with phosphodiesterase inhibitors

INVENTOR(S):

Jerussi, Thomas P.; Senanayake, Chrisantha H.; Fang,

Qun K.

PATENT ASSIGNEE(S):

USA

U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of U.S.

Ser. No. 662,135.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE: /

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT	NO.		KI	ND	DATE			APPLICATION NO.					DATE					
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US	2002	0101	98	Α	1	2002	0124		US 2001-770663						0129				
US(	6331	571)		В	1	1 20011218			US 1999-372158						19990811				
us`	6339	106		В	B1 20020115			US 2000-662135						20000914					
WO	WO 2002060424			Α	2	2002	8080	WO 2002-US2040						20020123					
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PRIORITY	PRIORITY APPLN. INFO.:							Į	US 1	999-	3721	58	A2	1999	0811				
								1	US 2	-000	662Í:	35	A2	2000	0914				
								1	US 1998-97665P				P	1998	0824				
					i	U\$ 1	998-	9930	6P	P	1998	0902							
							1	US 2	001-	7706	63	Α	2001	0129					

AΒ Methods are disclosed for the treatment and prevention of disorders and conditions such as, but are not limited to: eating disorders; wt. gain; obesity; irritable bowel syndrome; obsessive-compulsive disorders; platelet adhesion; apnea; affective disorders such as attention deficit disorders, depression, and anxiety; male and female sexual function disorders; restless leg syndrome; osteoarthritis; substance abuse including nicotine and cocaine addiction; narcolepsy; pain such as neuropathic pain, diabetic neuropathy, and chronic pain; migraines; cerebral function disorders; chronic disorders such as premenstrual syndrome; and incontinence. Pharmaceutical compns. and dosage forms are also disclosed which comprise a racemic or optically pure sibutramine metabolite and an optional drug. Sibutramine free base was prepd. by the reaction of chlorbenzylnitrile dibromopropane in the presence of NaH in DMSO, followed by the treatment of the resulting 1-(4-chlorophenyl)cyclobutanecarbonitrile with isobutylmagnesium bromide and finally treatment with HCHO. The fee base was resolved into the (R) and (S) isomers and converted into their metabolites. Hard gelatin capsules contained racemic or optically pure sibutramine metabolite 5.0, microcryst. cellulose 90.0, pregelatinized starch 100.3, croscarmellose sodium 7.0, and Mg stearate 0.2 mg.

139755-83-2, Sildenafil IT

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. comprising sibutramine metabolites in combination with phosphodiesterase inhibitor)

RN

139755-83-2 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

IT 139755-82-1, Desmethylsildenafil

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (desmethylsildenafil; compns. comprising sibutramine metabolites in combination with phosphodiesterase inhibitor)

RN 139755-82-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L23 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:51989 HCAPLUS

DOCUMENT NUMBER: 136:96083

TITLE: Methods of using and compositions comprising

(+)-sibutramine optionally in combination with other

pharmacologically active compounds

INVENTOR(S): Young, James W.; Jerussi, Thomas P.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S.

Ser. No. 442,263.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.			KI	KIND DATE				A	PPLI	CATI	ON NO	ο.	DATE						
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US 2002006964			A	1	2002	0117		us 2001-770393 2001(129												
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							SD,													
			UΑ,	UG,	UΖ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM	
		RW:					MW.													

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.:

US 1995-442263 A2 19950516
US 2001-770393 A 20010129

AB This invention encompasses methods for the treatment and prevention of disorders that include, but are not limited to, eating disorders; wt. gain; obesity; irritable bowel syndrome; obsessive-compulsive disorders; platelet adhesion; apnea; affective disorders such as attention deficit disorders, depression, and anxiety; male and female sexual function disorders; restless leg syndrome; osteoarthritis; substance abuse including nicotine and cocaine addiction; narcolepsy; pain such as neuropathic pain, diabetic neuropathy, and chronic pain; migraines; cerebral function disorders; chronic disorders such as premenstrual syndrome; and incontinence. The invention further encompasses pharmaceutical compns. and dosage forms which comprise optically pure (+)-sibutramine, optionally in combination with a phosphodiesterase inhibitor or a lipase inhibitor.

IT 139755-82-1, Desmethylsildenafil

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(desmethylsildenafil; therapeutic compns. comprising (+)-sibutramine and optionally in combination with other pharmacol. active compds.) 139755-82-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

IT 139755-83-2, Sildenafil

RN

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(therapeutic compns. comprising (+)-sibutramine and optionally in combination with other pharmacol. active compds.)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

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L23 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:51988 HCAPLUS
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DOCUMENT NUMBER: 136:107551

TITLE: Method of using and compositions comprising (-)

sibutramine optionally in combination with other

pharmacologically active compounds Young, James W.; Jerussi, Thomas P.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S.

Ser. No. 721,669.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

INVENTOR(S):

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DATE
     PATENT NO.
                       KIND
                                               APPLICATION NO.
                                                                  DATE
                                               _____
                              20020117
     US 2002006963
                         A1
                                               US 2001-770665
                                                                  2001012
                              2003/0808
     WO 2002060428
                        A2
                                              WO 2002-US2039
                                                                  20020123
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
                                                                                TZ.
                                                                                TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                           US 1992-903040
                                                              B1 19920623
                                           US 1995-461608
                                                              B1 19950605
                                                              A2 20001127
                                           US 2000-721669
                                           US 2001-770665
                                                              A 20010129
```

AB This invention encompasses methods for the treatment and prevention of disorders that include, but are not limited to, eating disorders; wt. gain; obesity; irritable bowel syndrome; obsessive-compulsive disorders; platelet adhesion; apnea; affective disorders such as attention deficit disorders, depression, and anxiety; male and female sexual function disorders; restless leg syndrome; osteoarthritis; substance abuse including nicotine and cocaine addiction; narcolepsy; pain such as neuropathic pain, diabetic neuropathy, and chronic pain; migraines; cerebral function disorders; chronic disorders such as premenstrual syndrome; and incontinence. The invention further encompasses pharmaceutical compns. and dosage forms which comprise optically pure (-) sibutramine, optionally in combination with a phosphodiesterase inhibitor or a lipase inhibitor. A soln. of 21.7 g L-dibenzyltartaric acid ("L-DBTA") in Et acetate was added to a soln. of 12.3 g racemic sibutramine in Et acetate and the reaction mixt. was heated to reflux and cooled to room temp. The white ppt. was collected and the solid was then suspended in Et acetate and heated at reflux for 30 min. The solid was collected and further crystd. in iso-Pr alc. to give 11.3 g of (-)-sibutramine L-DBTA (yield 76%). Free base was obtained by treatment of (-)-sibutramine L-DBTA with satd. aq. NaHCO3 and extd. with chloroform. A pharmacol. study was conducted to det. the relative potency, comparative efficacy, binding affinity, and toxicity of the enantiomers and racemic mixt. of sibutramine. A capsule contained (-) sibutramine 10.0, lactose 70.0, corn starch 19.5, and magnesium stearate · 0.05 mg.

IT 139755-82-1, Desmethylsildenafil 139755-83-2, Sildenafil

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of using and compns. comprising (-) sibutramine optionally in combination with other pharmacol. active compds.)

RN 139755-82-1 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-83-2 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L23 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:31259 HCAPLUS

DOCUMENT NUMBER:

136:64173

TITLE:

Method using sildenafil or other cGMP

phosphodiesterase 5 inhibitor for treating peripheral

vascular diseases, peripheral neuropathies

, and autonomic neuropathies

INVENTOR(S):

Wood, Ralph E.

PATENT ASSIGNEE(S):

USA

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND					ND	DATE APPLICATION NO.							ο.	DATE					
															/	<del></del>			
	WO 2002002118			A1 200			0110		M	WO 2001-US41202					2001,01629				
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ/	ĠΑ,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	ĞD,	GE,	GH,	
			GM.	HR.	HII.	TD.	TI.	TN.	TS.	JP.	KE.	KG.	KP.	KR.	K7.	T.C.	T.K	T.R	

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001079275 Α5 20020114 AU 2001-79275 2Q0106**2**9 US 2000-215065P P PRIORITY APPLN. INFO.: 20000630

> US 2000-219029P 20000718 Ρ

WO 2001-US41202 200/10629 W

A method is provided for treating a patient suffering from peripheral AB vascular disease, peripheral neuropathies, or autonomic neuropathies by administering a cGMP PDE5 inhibitor such as sildenafil. The method is particularly applicable to patients suffering from diabetic foot ulcers, Raynaud's Phenomenon, CREST Syndrome, erythromatosis, rheumatoid diseases, diabetic retinopathies and onychomycosis. According to the invention, a cGMP PDE5 inhibitor may be administered as a prophylactic to patients predisposed to develop a peripheral vascular disease, peripheral neuropathy, or autonomic neuropathy.

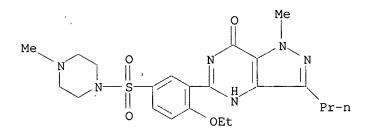
IT139755-83-2, Sildenafil

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sildenafil or other cGMP phosphodiesterase 5 inhibitor for treatment of peripheral vascular diseases and peripheral and autonomic neuropathies)

RN

139755-83-2 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2002 ACS L23 ANSWER 6 OF 10 2001:338762 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:362292

TITLE: Methods of determining individual hypersensitivity to

a pharmaceutical agent from gene expression profile

INVENTOR(S): Farr, Spencer

Phase-1 Molecular Toxicology, USA PATENT ASSIGNEE(S):

PCT Int. Appl., 222 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                             KIND
                                    DATE
                                                        APPLICATION NO.
                                                                               DATE
                                     20010510
                             A2
                                                        WO 2000-US30474
                                                                              20001103
      WO 2001032928
                                     20020725
                             A3
      WO 2001032928
                AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
                 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
                 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL,
                                                                                     PT, RO, RU,
US, UZ, VN,
                 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, (US)
                 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.:

US 1999-165398P P 19991105
                                                    US 2000-196571P P 20000411
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The invention discloses methods, gene databases, gene arrays, protein AB arrays, and devices that may be used to det. the hypersensitivity of individuals to a given agent, such as drug or other chem., in order to prevent toxic side effects. In one embodiment, methods of identifying hypersensitivity in a subject by obtaining a gene expression profile of multiple genes assocd. with hypersensitivity of the subject suspected to be hypersensitive, and identifying in the gene expression profile of the subject a pattern of gene expression of the genes assocd. with hypersensitivity are disclosed. The gene expression profile of the subject may be compared with the gene expression profile of a normal individual and a hypersensitive individual. The gene expression profile of the subject that is obtained may comprise a profile of levels of mRNA or cDNA. The gene expression profile may be obtained by using an array of nucleic acid probes for the plurality of genes assocd. with hypersensitivity. The expression of the genes predetd. to be assocd. with hypersensitivity is directly related to prevention or repair of toxic damage at the tissue, organ or system level. Gene databases arrays and app. useful for identifying hypersensitivity in a subject are also disclosed.

IT 171599-83-0, Sildenafil citrate

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(methods of detg. individual hypersensitivity to a pharmaceutical agent from gene expression profile)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

L23 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:283791 HCAPLUS

DOCUMENT NUMBER: 134:290420

TITLE: Sildenafil and other pyrazolopyrimidine derivatives

for treatment of neuropathies

INVENTOR(S):
Lareida, Jurg

PATENT ASSIGNEE(S): Switz.

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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DATE
         PATENT NO.
                                         KIND
                                                                                 APPLICATION NO.
         _____
                                                                                 _____
                                                                                                                 -----
                                                     2001041/9
                                                                             WO 2000-CH409 20000727
         WO 2001026659
                                        A1
              W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                        PT, SE
                220672 A1 20020710 EP 2000-943518 20000727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
         EP 1220672
                                                                                                                 19991012
PRIORITY APPLN. INFO.:
                                                                            CH 1999-1862
                                                                                                           Α
                                                                            WO 2000-CH409
                                                                                                                 20000727
OTHER SOURCE(S):
                                             MARPAT 134:290420
GI
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ΑB Compds. I (R1 = C1-6 alkyl, optionally halo-substituted; R2 = H, C1-4 alkyl, optionally halo-substituted or replaced by halo; R3 = C2-4 alkyl, optionally halo-substituted; R4 = SO2NR5R6, CO2R7 etc.; R5, R6 = H, C1-4 alkyl, or, together with the N atom to which they are attached, form pyrrolidino, piperidino, morpholino, etc.; R7 = H, C1-4 alkyl, optionally fluoro-substituted), or the pharmaceutically acceptable salts thereof, are useful for the chemotherapeutic treatment of neuropathies.

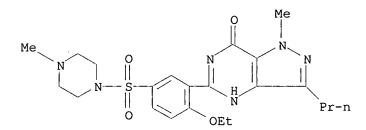
139755-83-2, Sildenafil ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sildenafil and other pyrazolopyrimidine derivs. for neuropathy treatment)

139755-83-2 HCAPLUS RN

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2002 ACS L23 ANSWER 8 OF 10 2001:255742 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 135:190353

Sildenafil: Evidence and shadows TITLE:

AUTHOR(S): Pavone-Macaluso, M.; Lamartina, M.; Pavone, C.; Vella,

M.; Melloni, D.

Institute of Urology, University of Palermo (I), CORPORATE SOURCE:

Palermo, Italy

SOURCE: International Congress on Therapy in Andrology: The

> Human Testis: Its Role in Reproduction and Sexuality, 4th, Pisa, Italy, Oct. 14-16, 1999 (1999), 113-116. Editor(s): Menchini Fabris, G. F. Monduzzi Editore

S.p.A.: Bologna, Italy.

CODEN: 69BDFM

DOCUMENT TYPE: Conference

LANGUAGE: English

AΒ Sildenafil citrate (S.) has been com. available in Italy for almost a year. Our present experience shows that is effective in most cases, including urol. conditions such as erectile dysfunction (ED) assocd. with neuropathic bladder and ED following radiotherapy and radical surgery for prostate cancer. Risks and side effects are minimal, provided the contraindications are known and taken in considerations. Few problems still remain to be solved. Lack of satisfaction and malpractice litigations can be kept to a min. if the indications are properly selected.

IT 171599-83-0, Sildenafil citrate

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sildenafil use in humans)

RN 171599-83-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 CMF C22 H30 N6 O4 S

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ \mid & \cdot \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ \mid & \text{OH} \end{array}$$

L23 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:114953 HCAPLUS

DOCUMENT NUMBER:

134:157562

TITLE:

Methods and pharmaceutical compositions for increasing

optic nerve, choroidal and retinal blood flow by cyclic-GMP analogs, cyclic-GMP phosphodiesterase

inhibitors, or guanylate cyclase activators.

INVENTOR(S):

Sponsel, William E.

PATENT ASSIGNEE(S):

Board of Regents, the University of Texas System, USA

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

. 1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001010406 A2 20010215 WO 2000-US21929 20000810 WO 2001010406 A3 20020808 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1246605 Α2 EP 2000-952721 20021009 20000810 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL PRIORITY APPLN. INFO.: US 1999-148150P P 19990810 WO 2000-US21929 W 20000810/

A method is provided for improving visual function and maximizing the AB health of the optic nerve and retina by increasing blood flow velocity therein through the application of an effective amt. of a formulation of an agent that is a cyclic-GMP analog, a cyclic-GMP phosphodiesterase inhibitor, or a guanylate cyclase activator. Compds. of the invention include e.g. sildenafil citrate (Viagra).

139755-83-2, Sildenafil 171599-83-0, Sildenafil citrate IT RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic-GMP analog, cyclic-GMP phosphodiesterase inhibitor, or quanylate cyclase activator for increasing optic nerve, choroidal and retinal blood flow.)

RN

139755-83-2 HCAPLUS
Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 171599-83-0 HCAPLUS

Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-CN d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139755-83-2 C22 H30 N6 O4 S CMF

CM

CRN 77-92-9 CMF C6 H8 O7

L23 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:98405 HCAPLUS

DOCUMENT NUMBER:

134:141774

TITLE:

Methods, pharmaceutical compositions comprising cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitors for prophylactic and treatment of diseases

and conditions of the eye

INVENTOR(S):

PATENT ASSIGNEE(S):

Laties, Alan Malev Pfizer Products Inc., USA Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND	DATE /	APPLI	CATION NO.	DATE '	
EP 1074	258	A2	2001/02/07	EP 20	20000721		
EP 1074	258	A3	20010A18				
R:	AT, BE,	CH, DE	, DK, ES,	FR, GB, GR,	IT, LI, LU	, NL, SE,	MC,
	IE, SI,	LT, LV	, FI, RO				
JP 2001	048788	A2	2001-0220	JP 20	00-222162	20000724	
US 2002	119974	A1	20020829	US 20	02-126375	20020419	
PRIORITY APP	LN. INFO	.:		US 1999-	·146095P P	19990728	
				US 2000-	·607562 B1	20000629	
OTHER SOURCE	(S):	MA	RPAT 134:1	.41774			

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OR^3 & HN & & & \\
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N & & & & \\
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SO_2N & & & & \\
R^4 & & & & \\
R^5 & & & & I
\end{array}$$

The invention describes methods using cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitors (I) [R1= H, C1-C3 alkyl, C3-C5 cycloalkyl, perfluoroalkyl; R2= H, (hydroxyl-substituted) C1-C6 alkyl, C3-C6 cycloalkyl, etc.; R3= C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, etc.; R4N completes pyrrolidinyl, morpholino, etc.; R5= H, C1-C4 alkyl, C1-C3 alkoxy, etc.] for prophylactic and therapeutic administration in patients with eye diseases and conditions including:central retinal artery occlusion; central retinal vein occlusion; optic neuropathy including, but not limited to, anterior ischemic optic neuropathy and glaucomatous optic neuropathy; and macular (dry) degeneration. Pharmaceutical compns. comprising cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitors are also disclosed.

IT 139755-81-0 139755-82-1 139755-83-2 139755-84-3 139755-85-4 139755-86-5 139755-87-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phosphodiesterase type 5 inhibitors for prophylactic and treatment of eye diseases)

RN 139755-81-0 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-(2-propenyloxy)phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 139755-82-1 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 139755-84-3 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-(1-methyl)- (9CI) (CA INDEX NAME)

RN 139755-85-4 HCAPLUS

CN 1-Piperazineethanol, 4-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-86-5 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 139755-87-6 HCAPLUS

CN 1-Piperazineethanol, 4-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

psychotic disorder, major depressive mood disorder, bipolar disorder with psychotic features, seasonal affective. . .

(FILE 'HOME' ENTERED AT 20:22:23 ON 09 MAR 2003)

FILE 'ADISCTI, ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CANCERLIT, CAPLUS, CEN, DGENE, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU, EMBAL, EMBASE, ESBIOBASE, IFIPAT, IPA, JICST-EPLUS, KOSMET, LIFESCI, MEDICONF, MEDLINE, NAPRALERT, NLDB, NUTRACEUT, ...' ENTERED AT 20:22:28 ON 09 MAR 2003

	MEDDINE, NAPRABERT, NEDD, NOTRACEOT, ENTERED AT 20.22:28 ON 09 MAR
	2003
L1	20424 S TOURETT?
L2	19571 S (NEUROPATHY OR NEUROPATHIES) (P) (DYSFUNCTION OR DISORDER)
L3	9735 DUP REM L2 (9836 DUPLICATES REMOVED)
L4	24542 S (NEUROPATHY OR NEUROPATHIES) (P) (CENTRAL NERVOUS SYSTEM)
L5	295 S CENTRAL (W) NEUROPATHY
L6	97 S L5 AND (CENTRAL (W) NERVOUS (W) SYSTEM)
L7	64 DUP REM L6 (33 DUPLICATES REMOVED)
	FILE 'USPATFULL' ENTERED AT 20:47:21 ON 09 MAR 2003
L8	FILE 'USPATFULL' ENTERED AT 20:47:21 ON 09 MAR 2003 2 S NEUOPATHY/CLM, AB, TI
L8 L9	
	2 S NEUOPATHY/CLM, AB, TI
Ь9	2 S NEUOPATHY/CLM, AB, TI 745 S NEUROPATHY/CLM, AB, TI
L9 L10	2 S NEUOPATHY/CLM, AB, TI 745 S NEUROPATHY/CLM, AB, TI 325 S L9 AND CENTRAL (W) NERVOUS (W) SYSTEM
L9 L10 L11	2 S NEUOPATHY/CLM, AB, TI 745 S NEUROPATHY/CLM, AB, TI 325 S L9 AND CENTRAL (W) NERVOUS (W) SYSTEM 111 S L10 AND (CENTRAL (P) NEUROPATHY)

8 S L14 AND (CENTRAL (W) NERVOUS (W) SYSTEM)

L15

## => d his full

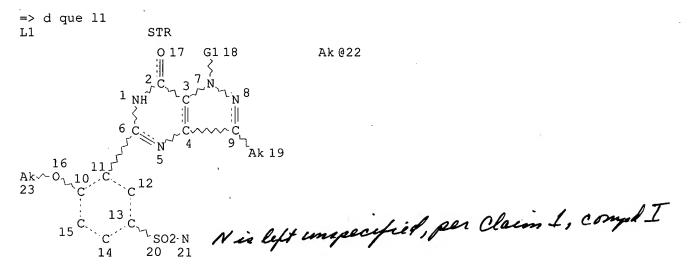
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FILE 'REGISTRY' ENTERED AT 10:29:25 ON 11 OCT 2002
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             STR see done LI for atructure

133) SEA SSS FUL LI

STR see done L3 for atructure

182) SEA SSS FUL L3

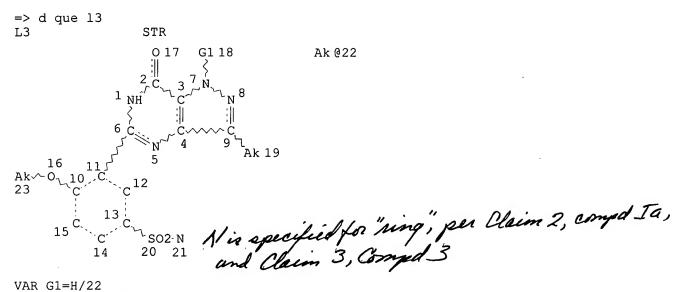
315 SEA ABB=ON L2 OR L4 315 complator L/ or L3
L1
L2
L3
L4
L5
     FILE 'HCAPLUS' ENTERED AT 10:32:05 ON 11 OCT 2002
                  ACT KIM113L18/A
                  STR - some as LI
L6
              133) SEA SSS FUL L6
L7
                  STR - same on L3
rs
L9
              182) SEA SSS FUL L8
             315) SEA ABB=ON L7 OR L9 50 4 cité for L/ or L3
L10 (
L11
L12
              351 SEA ABB=ON L11 AND (?COMP? OR ?PREP? OR ?COMB?)
               61 SEA ABB=ON L11 AND ?COMPOS? 6/Cite for "composition"
L13
               60 SEA ABB=ON L11 AND ?COMPOSIT?
L14
                  D TI 1-10
                O SEA ABB=ON L14 AND LAREIDA J/AU
L15
                O SEA ABB=ON L14 AND LAREIDA J?/AU
L16
                  D SAVED
                  ACT KIM113/A
                  _____
L17
                  STR
             133) SEA SSS FUL L17
L18 (
L19
                  STR
L20 (
             182) SEA SSS FUL L19
              315)SEA ABB=ON L18 OR L20
L21 (
               5 SEA ABB=ON L23 AND COMPOSIT?
42 SEA ABB=ON L13 AND PRD<20000727 42 cits when limited by priority date—attacked for your query(1)
              504) SEA ABB=ON L21
L22 (
L23
              10 SEA ABB=ON L22 AND. (?NEUROPATH? OR ?NERV?(W)?DISEAS?)
L24
L25
               42 SEA ABB=ON L13 AND PRD<20000727
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VAR G1=H/22 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED ECOUNT IS M1-X6 C AT 19 ECOUNT IS M2-X4 C AT 23

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE



VAR G1=H/22

NODE ATTRIBUTES:

AT 21 NSPEC IS R DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M1-X6 C AT 19 ECOUNT IS M2-X4 C AT 23

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

mentor Search

Kim 10/088,113

10/10/2002

=> d ibib abs hitstr 1-2

ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS 2001:283791 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:290420

TITLE: Sildenafil and other pyrazolopyrimidine derivatives

for treatment of neuropathies

INVENTOR(S): Lareida, Jurg

PATENT ASSIGNEE(S): Switz.

PCT Int. Appl., 19 pp. SOURCE:

Ι

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

 $R^4$ 

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	PATENT NO.					KIND DATE					CATI	ON NO	٥.	DATE			
	WO	2001	0266	59	A1 20010419					w	0 20	00-C	H409		2000	0727		
		W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
			KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
			MW,	MX,	NO;	NZ,	PL,	PT,	RO,	RU,	·SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,
			TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	zw							
		RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,
			PT,	SE														
	EP	1220	672		A.	1	2002	0710		Ε	P 20	00-9	4351	В	2000	0727		•
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL							
E	RIORITY	APP	LN.	INFO	.:					CH 1	999-	1862		Α	1999	1012		
									WO 2000-CH409 W					20000727				
OTHER SOURCE(S):						MAR	PAT	134:	2904	20								

Compds. I (R1 = C1-6 alkyl, optionally halo-substituted; R2 = H, C1-4 AΒ alkyl, optionally halo-substituted or replaced by halo; R3 = C2-4 alkyl, optionally halo-substituted; R4 = SO2NR5R6, CO2R7 etc.; R5, R6 = H, C1-4 alkyl, or, together with the N atom to which they are attached, form pyrrolidino, piperidino, morpholino, etc.; R7 = H, C1-4 alkyl, optionally fluoro-substituted), or the pharmaceutically acceptable salts thereof, are useful for the chemotherapeutic treatment of neuropathies.

IT139755-83-2, Sildenafil

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(sildenafil and other pyrazolopyrimidine derivs. for neuropathy

treatment)

RN 139755-83-2 HCAPLUS

CN Piperazine, 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1999:341597 HCAPLUS

DOCUMENT NUMBER: 131:97841

TITLE: Glucagon-like peptide-1 promotes satiety and reduces

food intake in patients with diabetes mellitus type 2

AUTHOR(S): Gutzwiller, Jean-Pierre; Drewe, Jurgen; Goke,

Burkhard; Schmidt, Harald; Rohrer, Beat; Lareida,

Jurg; Beglinger, Christoph

CORPORATE SOURCE: Department of Internal Medicine, Kantonsspital, Aarau,

CH-5000, Germany

SOURCE: American Journal of Physiology (1999), 276(5, Pt. 2),

R1541-R1544

CODEN: AJPHAP; ISSN: 0002-9513 American Physiological Society

PUBLISHER: American
DOCUMENT TYPE: Journal
LANGUAGE: English

Glucagon-like peptide-1-(7-36) amide (GLP-1) is an incretin hormone of the AB enteroinsular axis. Recent exptl. evidence in animals and healthy subjects suggests that GLP-1 has a role in controlling appetite and energy intake in humans. The authors have therefore examd. in a double-blind, placebo-controlled, crossover study in 12 patients with diabetes type 2 the effect of i.v. infused GLP-1 on appetite sensations and energy intake. On 2 days, either saline or GLP-1 (1.5 pmol.cntdot.kg-1.cntdot.min-1) was given throughout the expt. Visual analog scales were used to assess appetite sensations; furthermore, food and fluid intake of a test meal were recorded, and blood was sampled for anal. of plasma glucose and hormone levels. GLP-1 infusion enhanced satiety and fullness compared with placebo (P = 0.028 for fullness and P = 0.026 for hunger feelings). Energy intake was reduced by 27% by GLP-1 (P = 0.034) compared with saline. The results demonstrate a marked effect of GLP-1 on appetite by showing enhanced satiety and reduced energy intake in patients with diabetes type 2.

IT 50-99-7, D-Glucose, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(blood; glucagon-like peptide-1 promotes satiety and reduces food intake in patients with diabetes mellitus type 2)

RN 50-99-7 HCAPLUS

CN D-Glucose (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 89750-14-1, Glucagon-like peptide I 118549-37-4,

Insulinotropin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(glucagon-like peptide-1 promotes satiety and reduces food intake in patients with diabetes mellitus type 2)

RN 89750-14-1 HCAPLUS

CN Glucagon-like peptide I (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 118549-37-4 HCAPLUS

CN Insulinotropin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 9004-10-8, Insulin, biological studies 9007-92-5,

Glucagon, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(glucagon-like peptide-1 promotes satiety and reduces food intake in patients with diabetes mellitus type 2)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9007-92-5 HCAPLUS

CN Glucagon (7CI, 8CI, 9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT